COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:34:12 ON 12 NOV 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8
DICTIONARY FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

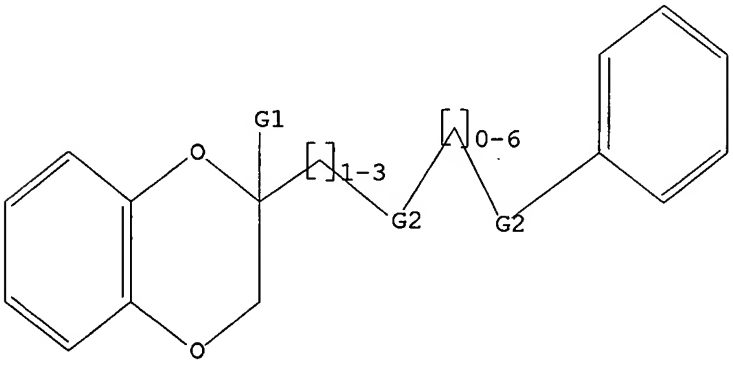
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10019921.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak,O,MeO,EtO,n-PrO,i-PrO,n-BuO,s-BuO,t-BuO
G2 N,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:34:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 756 TO ITERATE

100.0% PROCESSED 756 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 13471 TO 16769
PROJECTED ANSWERS: 132 TO 668

L2 20 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:34:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14495 TO ITERATE

100.0% PROCESSED 14495 ITERATIONS 241 ANSWERS
SEARCH TIME: 00.00.01

L3 241 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 13:34:51 ON 12 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 48 L3

=> d ibib abs hitstr tot

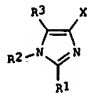
L4 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:376829 CAPLUS
DOCUMENT NUMBER: 138:385424
TITLE: Imidazole-4-carboxamide derivatives, and their preparation and use for treatment of obesity
INVENTOR(S): Smith, Roger A.; O'Connor, Stephen J.; Wirtz, Stephan-Nicholas; Wong, Wai C.; Choi, Soongyu; Kluender, Harold C. E.; Su, Ning; Wang, Gan; Achebe, Furahi; Ying, Shihong
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040107	A1	20030515	WO 2002-US30545	20020924

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-324473P P 20010924
OTHER SOURCE(S): MARPAT 138:385424
GI

L4 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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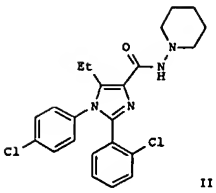

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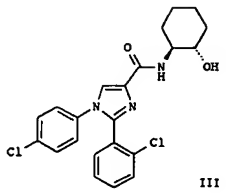
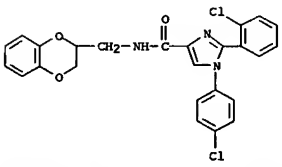


III

AB The invention relates to imidazole derivs. I, which have been found to suppress appetite and induce wt. loss [wherein: R1, R2 = alkyl, (un)substituted Ph, alkyl, naphthyl, benzyl, (un)satd. or arom. heterocyclyl; R3 = H, alkyl, benzyl, Cl, or Br; X = (a) CONR4R5 or (b) CONHSO2R10; (a) R4 = H or alkyl; R5 = (un)substituted alkyl, bicycloalkyl, benzyl, phenethyl, piperidinyl or pyrrolidinyl, NR6R7, etc.; or NR4R5 = (un)substituted (un)satd. heterocyclyl; R6 = H or alkyl; R7 = alkyl or (un)substituted Ph; or NR6R7 = (un)substituted (un)satd. heterocyclyl; or (b) R10 = (un)substituted alkyl, benzocyclohexyl, or benzocyclopentyl; including pharmaceutical salts and esters]. The invention also provides methods for synthesis of the compds., pharmaceutical compns. comprising them, and methods of using such compns. for inducing wt. loss and treating obesity and obesity-related disorders. Such disorders include dyslipidemia, hypertriglyceridemia, hypertension, diabetes, syndrome X, atherosclerotic disease, cardiovascular disease, cerebrovascular disease, peripheral vessel disease, cholesterol gallstones, cancer, menstrual abnormalities, infertility, polycystic ovaries, osteoarthritis, and sleep apnea. I are also claimed for use in regulating appetite, treating bulimia, treating CNS disorders, treating cognition and memory disorders, and treating substance or behavioral addiction. I may also be administered or formed into pharmaceutical compns. in combination with other agents for similar treatments, e.g., antiobesity agents, hypolipidemics, and antihypertensives. Approx. 50 synthetic examples of both invention compds. and intermediates are given, and several tables of compds. I (480 total compds.) are provided. For instance, 2-chloro-N-(4-chlorophenyl)benzenecarboximidamide was cyclized with Et 3-bromo-2-oxopentanoate in the presence of K2CO3 to give an imidazole-4-carboxylate ester, which reacted with 1-aminopiperidine in the presence of AlMe3 to give title compd. II. In the fasted-refed acute feeding assay in rats, invention compd. III at 10 mg/kg orally reduced food consumption by 31-53% vs. control.

L4 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT 527370-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of imidazolecarboxamide derivs. as antiobesity agents)
RN 527370-68-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:540257 CAPLUS
DOCUMENT NUMBER: 137:109288
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 83 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002094974	A1	20020718	US 2000-728616	20001201
WO 2002057267	A1	20020725	WO 2001-US45280	20011130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

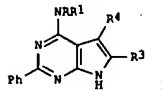
EP 1347980	A1	20031001	EP 2001-997029	20011130

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NO 2003002482	A	20030728	NO 2003-2482	20030602

PRIORITY APPLN. INFO.:
US 1999-169036P P 19991202
US 1999-169037P P 19991202
US 2000-728316 A 20001201
US 2000-728616 A 20001201
US 2000-728607 A 20001204
WO 2001-US45280 W 20011130
OTHER SOURCE(S): MARPAT 137:109288
GI

L4 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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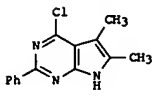

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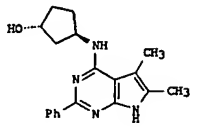


III

AB Pyrrolopyrimidines I [R = 3-hydroxycyclopentylamino ethylamino carbonylamino Pr, N,N-diethylamino carbonylamino Et, thioacetamido Et, 3-amino acetyloxy cyclopentyl, 3-hydroxycyclopentyl, 2-pyrrolyl carbonyl aminoethyl, 2-imidazolinone Et, 1-aminocarbonyl-2-methylpropyl, 1-aminocarbonyl-2-Ph Et, 3-hydroxyazetidino, 2-imidazoleethyl, acetamidoethyl, 1-(R)-phenyl-2-hydroxyethyl, N-methylaminocarbonyl pyridyl-2-methyl; R1 = H; RR1N = 3-hydroxypyrrolidino, 3-methyloxy carbonylmethyl pyrrolidino, 3-aminocarbonylmethyl pyrrolidino, 3-hydroxymethyl piperidino; R3, R4 = H, (un)substituted alkyl, aryl] are prepd. as selective inhibitors of adenosine receptors, particularly the adenosine A3 receptor, for the treatment of diseases such as asthma, diarrhea, chronic obstructive pulmonary disease, allergic rhinitis, or for the treatment of eye damage caused either by disease or injury. Human adenosine receptors are transformed into yeast; the modified yeast are used to assay the invention compds. I for their adenosine receptor binding and selectivities. E.g., 1-(1-phenylethyl)-2-amino-3-cyano-4,5-dimethylpyrrole is acylated with PhCOCl to give the benzamide which undergoes cyclocondensation with concd. H2SO4 in MeOH to give a pyrrolopyrimidinone; removal of the phenethyl group with polyphosphoric acid and chlorination of the pyrrolopyrimidinone with POCl3 gives the intermediate chlocopyrrolopyrimidine II. E.g., addn. of amines such as trans-3-amino-1-cyclopentanol to II in DMSO gives aminopyrrolopyrimidines such as III. III has a Ki for the adenosine A1 receptor of 29 nM and a Ki for the adenosine A3 receptor of 3.1 nM while binding to the adenosine A2a and A2b receptors with Ki values of 191 nM and 1143 nM, resp. Formulations of these compds. are claimed (no data). Methods for the prepn. of I from the acylation of aminopyrroles with acyl chlorides followed by cyclocondensation and deprotection, chlorination, and substitution of the chlorine atom with an amine are claimed.

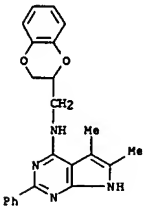
IT **443118-64-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compd.; prepn. of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor for the treatment of diseases such as diarrhea, allergic rhinitis, and eye damage resulting from injuries or disease)

RN 443118-64-7 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:900242 CAPLUS

DOCUMENT NUMBER: 136:288537

TITLE: Synthesis and biological activity of new 1,4-benzodioxan-arylpiperazine derivatives. Further validation of a pharmacophore model for .alpha.1-adrenoceptor antagonists

AUTHOR(S): Barbaro, Roberta; Betti, Laura; Botta, Maurizio; Corelli, Federico; Giannaccini, Gino; Maccari, Laura; Manetti, Fabrizio; Strappaghetti, Giovannella; Corsano, Stefano

CORPORATE SOURCE: Istituto di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2001), Volume Date 2002, 10(2), 361-369
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of WB4101-related benzodioxanes have been synthesized by replacing the phenoxyethyl moiety of WB4101 with a N-alkyl piperazine bearing a cyclic substituent (a substituted or unsubstituted Ph group, a pyridine or pyridazinone ring, a furoyl moiety) at the second nitrogen atom. The binding profile of these compds. has been assessed by radioligand receptor binding assay at .alpha.1- and .alpha.2-adrenoceptors, in comparison to prazosin and rauwolscine, resp. Moreover, structure-activity relationships have been derived for compds. based on their fitting to a pharmacophore model for .alpha.1-adrenoceptor antagonists recently proposed by our research group. In a parallel way, the same compds. have been used to further test the predictive power and statistical significance of the model itself. The accuracy of the results obtained also in this case revealed the robustness of the calcd. pharmacophore model and led to the identification of the mol. structural moieties which are thought to contribute to the biol. activity.

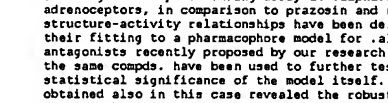
IT **406911-21-5P 406911-22-6P 406911-23-7P**
406911-24-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and biol. activity of 1,4-benzodioxan-arylpiperazine derivs.: validation of pharmacophore model for .alpha.1-adrenoceptor antagonists)

RN 406911-21-5 CAPLUS

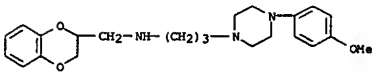
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 406911-22-6 CAPLUS

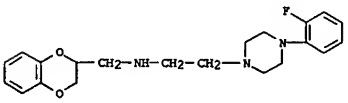
CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



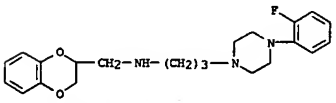
RN 406911-23-7 CAPLUS

CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 406911-24-8 CAPLUS

CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



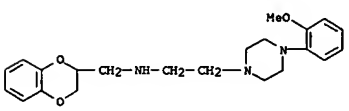
IT **185376-59-4 185376-60-7 185376-61-8**
185376-63-0 185376-64-1 185376-65-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthesis and biol. activity of 1,4-benzodioxan-arylpiperazine derivs.: validation of pharmacophore model for .alpha.1-adrenoceptor antagonists)

RN 185376-59-4 CAPLUS

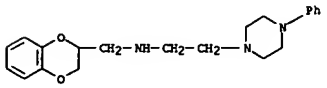
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



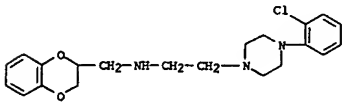
RN 185376-60-7 CAPLUS

CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

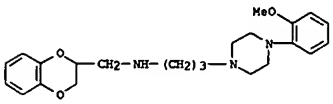
L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
phenyl- (9CI) (CA INDEX NAME)



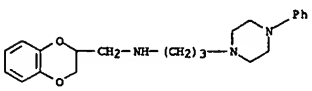
RN 185376-61-8 CAPLUS
CN 1-Piperazineethanamine, 4-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 185376-63-0 CAPLUS
CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

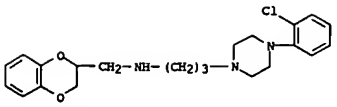


RN 185376-64-1 CAPLUS
CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 185376-65-2 CAPLUS
CN 1-Piperazinepropanamine, 4-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

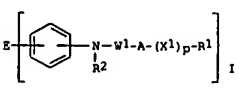


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:886044 CAPLUS
DOCUMENT NUMBER: 136:20249
TITLE: Preparation of tris(N-phenylamino acid and peptide amide) derivatives exhibiting thrombopoietin-like activities
INVENTOR(S): Fujiwara, Shinya; Ozaki, Tomokazu; Kozono, Toshiro; Hattori, Kunihiro; Esaki, Toru
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092211	A1	20011206	WO 2001-JP4561	20010530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001060661	A5	20011211	AU 2001-60661	20010530
EP 1291341	A1	20030312	EP 2001-934428	20010530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003162724	A1	20030828	US 2002-296638	20021127
PRIORITY APPLN. INFO.:			JP 2000-161036 A	20000530
			WO 2001-JP4561 W	20010530

OTHER SOURCE(S): MARPAT 136:20249
GI



AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof (wherein E is methylidyne or nitrilo; R1 is optionally substituted aryl or optionally substituted heteroaryl; R2 is hydrogen or alkyl; W1 is an amino acid residue; A is carbonyl or sulfonyl; X1 is optionally substituted alkylene or optionally substituted alkenylene; and p is 0 or 1) are prepd. These compds. exhibit an activity for increasing blood platelets with sufficiently low antigenic activity and are useful as low mol. and low-cost therapeutic agents for diseases which reduce blood platelets. Thus, a DMF soln. of O-(7-azatriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate was added to a DMF soln. of tris[4-(N-.epsilon.-tert-butoxycarbonyl-L-lysyl)aminophenyl]methane and 6-hydroxynaphthalene-1-carboxylic acid under ice-cooling and stirred at room temp. for 16 h to give tris[4-[N-.alpha.-(6-hydroxynaphthalen-1-ylcarbonyl)-N-.epsilon.-tert-

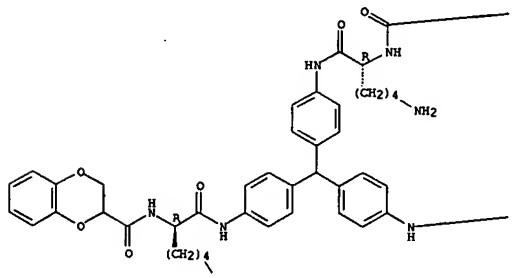
L4 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
butoxycarbonyl-L-lysyl]aminophenyl]methane which was treated with 4 N HCl/dioxane at room temp. for 1 h followed by purifn. using preparative HPLC and MeOH/CF3CO2H and H2O/CF3CO2H as eluents to give tris[4-[N-.alpha.-(6-hydroxynaphthalen-1-ylcarbonyl)-L-lysyl]aminophenyl]methane trifluoromethanesulfonate (II). II at 25 .mu.M in vitro showed a 144% increase in the activity of thrombopoietin in Mpl-expressing Ba/F3 cell line.

IT 379267-37-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tris(N-Ph amino acid and peptide amide) derivs. with thrombopoietin-like activities for increasing blood platelet and treating diseases reducing blood platelet)

RN 379267-37-5 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, N,N',N''-[methylidynetris[4,1-phenyleneimino[(1R)-1-(4-aminobutyl)-2-oxo-2,1-ethanediyl]]]tris[2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

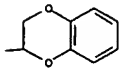
Absolute stereochemistry.

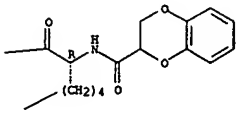
PAGE 1-A



L4 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B





PAGE 2-A

PAGE 2-B

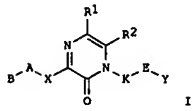
L4 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:851131 CAPLUS
DOCUMENT NUMBER: 136:6006
TITLE: Preparation of arylpyrazinones as coagulation cascade serine protease inhibitors
INVENTOR(S): South, Michael S.; Parlow, John J.; Jones, Darin E.; Case, Brenda; Dice, Tom; Lindmark, Richard; Hayes, Michael J.; Rueppel, Melvin L.; Fenton, Rick; Franklin, Gary W.; Huang, Horng-Chih; Huang, Wei; Kusturin, Carrie; Long, Scott A.; Neumann, William L.; Reitz, David; Trujillo, John I.; Wang, Ching-Cheng; Wood, Rhonda; Zeng, Qingping; Mahoney, Matthew W.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 578 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

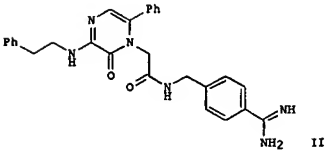
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087854	A1	20011122	WO 2000-US31884	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1292579	A1	20030319	EP 2000-980582	20001120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-574752 A 20000518
WO 2000-US31884 W 20001120
OTHER SOURCE(S): MARPAT 136:6006
GI

L4 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I



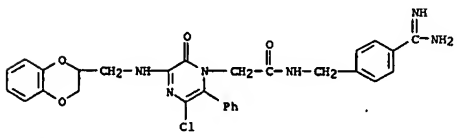
II

AB The title compds. [I; B = (un)substituted Ph, 5-6 membered heteroaryl, etc.; A = a bond, CH2, etc.; X = NH, NOH; R1 = H, alkyl, CN, etc.; R2 = (un)substituted Ph, CH2Ph, etc.; K = CH2, (CH2)2, etc.; E = a bond, CO, CONH, etc.; Y = 4-amidinobenzyl, benzimidazol-5-ylmethyl, etc.], useful for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases, were prepd. E.g., a multi-step synthesis of II.3HCl, starting from H2NCH2CO2CH2Ph, was described. Data for inhibitory activity of title compds. I toward TF-VIIa, thrombin II, factor Xa, and trypsin II, were given.

IT 308842-30-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpyrazinones as coagulation cascade serine protease inhibitors)

RN 308842-30-0 CAPLUS

CN 1(2H)-Pyrazineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-chloro-3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

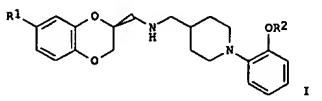


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:730734 CAPLUS
DOCUMENT NUMBER: 135:293953
TITLE: Therapeutic agents with affinity for serotoninergic, adrenergic and dopaminergic receptors
INVENTOR(S): Birch, Alan Martin; Needham, Patricia Lesley
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

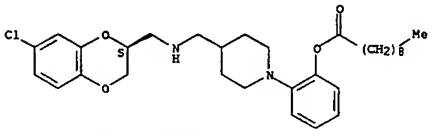
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072741	A2	20011004	WO 2001-EP3463	20010327
WO 2001072741	A3	20020103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001073903	A5	20011008	AU 2001-73903	20010327
EP 1274703	A2	20030115	EP 2001-940264	20010327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-7376 A 20000328
WO 2001-EP3463 W 20010327
OTHER SOURCE(S): MARPAT 135:293953
GI



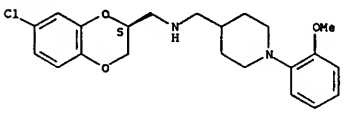
AB Compds. of formula I (R1 = halo, pseudohalo; R2 = H, acyl group derived from C7-18 satd. aliph. carboxylic acid), including pharmaceutically acceptable salts thereof, their prepn. and use in the treatment of central nervous system disorders are described. The compds. show affinity for 5-HT1A receptors, .alpha.1-adrenoceptors and/or D2 receptors. They are useful for the treatment of depression, anxiety, psychoses, Parkinson's disease, obesity, hypertension, Tourette's syndrome, sexual dysfunction,

L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



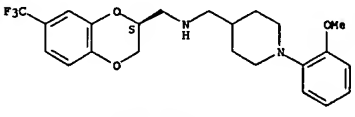
IT 246517-66-8 251467-69-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn., compns., and therapeutic uses of benzodioxin piperidino derivs. with affinity for serotoninergic, adrenergic and dopaminergic receptors)
RN 246517-66-8 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 251467-69-3 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

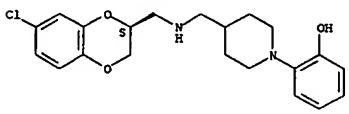


IT 364344-50-3P 364344-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., compns., and therapeutic uses of benzodioxin piperidino derivs. with affinity for serotoninergic, adrenergic and dopaminergic receptors)
RN 364344-50-3 CAPLUS
CN Carbamic acid, [[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl][[1-(2-hydroxyphenyl)-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

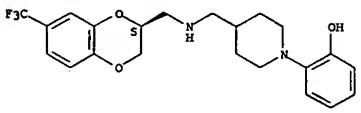
L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
drug addiction, drug abuse, cognitive disorders, Alzheimer's disease, senile dementia, obsessive-compulsive behavior, panic attacks, eating disorders, anorexia, cardiovascular and cerebrovascular disorders, non-insulin dependent diabetes mellitus, hyperglycemia, constipation, arrhythmia, disorders of the neuroendocrine system, stress, prostatic hypertrophy, drug-induced extrapyramidal symptoms or spasticity. For example, (S)-(-)-2-[4-[N-(7-chloro-2,3-dihydro-1,4-benzodioxin-2-ylmethyl]aminomethyl]piperidino]phenol was prepd. from (S)-(-)-N-(7-chloro-1,4-benzodioxan-2-yl-methyl)-1-[1-(2-methoxyphenyl)piperid-4-yl]methylamine and formulated into capsules, tablets, enteric-coated tablets, and suppositories.
IT 364344-47-8P 364344-48-9P 364344-49-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn., compns., and therapeutic uses of benzodioxin piperidino derivs. with affinity for serotoninergic, adrenergic and dopaminergic receptors)
RN 364344-47-8 CAPLUS
CN Phenol, 2-[4-[[[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 364344-48-9 CAPLUS
CN Phenol, 2-[4-[[[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

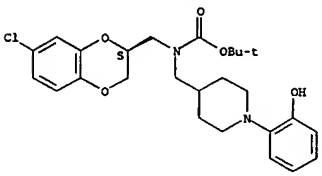
Absolute stereochemistry. Rotation (-).



RN 364344-49-0 CAPLUS
CN Decanoic acid, 2-[4-[[[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]amino]methyl]-1-piperidinyl]phenyl ester (9CI) (CA INDEX NAME)

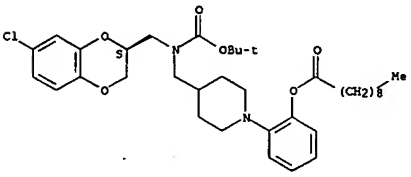
Absolute stereochemistry. Rotation (-).

L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 364344-51-4 CAPLUS
CN Decanoic acid, 2-[4-[[[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl][(1,1-dimethylethoxy)carbonyl]amino]methyl]-1-piperidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:565015 CAPLUS
DOCUMENT NUMBER: 135:152816
TITLE: Preparation of uracil derivatives as Gonadotropin-releasing hormone receptor antagonists
INVENTOR(S): Zhu, Yun-Fei; Chen, Chen; Tucci, Fabio C.; Guo, Zhiqiang; Gross, Timothy D.; Rowbottom, Martin; Struthers, R. Scott
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055119	A2	20010802	WO 2001-US2740	20010125
WO 2001055119	A3	20020214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002132820	A1	20020919	US 2001-771107	20010125
US 6608197	B2	20030819		
EP 1255738	A2	20021113	EP 2001-910362	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003520856	T2	20030708	JP 2001-555061	20010125
NO 2002003525	A	20020724	NO 2002-3525	20020724

PRIORITY APPLN. INFO.: US 2000-177933P P 20000125
US 2000-239683P P 20001011
WO 2001-US2740 W 20010125
OTHER SOURCE(S): MARPAT 135:152816
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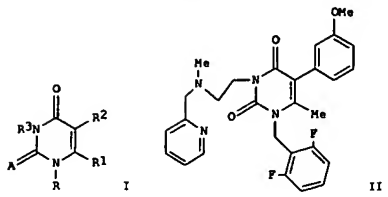
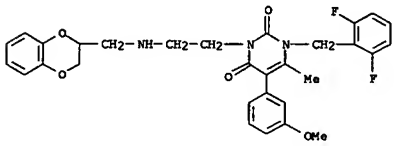
L4 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



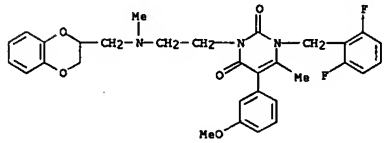
I II

AB Title compds. [I; R = arylalkyl; A = O, S, amino; R1 = alkyl, aryl, heterocycle; R2 = aryl, heterocycle, alkylaminocarbonyl, alkoxycarbonyl; R3 = alkylaminoalkyl, arylaminoalkyl, heterocyclylaminoalkyl, aminoalkyl, heterocyclylalkyl], stereoisomers, pharmaceutically acceptable salts, and prodrugs are prepd. Compns. contg. a I of this invention in combination with a pharmaceutically acceptable carrier, as well as methods relating to the use thereof for antagonizing gonadotropin-releasing hormone in both men and women are disclosed in the treatment of a variety of sex-hormone related conditions. Thus, the title compd. II was prepd. and biol. tested.
IT 352289-10-2P 352289-13-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of uracils as gonadotropin-releasing hormone receptor antagonists)
RN 352289-10-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2,6-difluorophenyl)methyl]-3-[2-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]ethyl]-5-(3-methoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)



RN 352289-13-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2,6-difluorophenyl)methyl]-3-[2-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]methylamino]ethyl]-5-(3-methoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

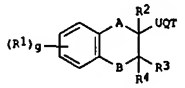
L4 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:31495 CAPLUS
DOCUMENT NUMBER: 134:95527
TITLE: Tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivatives for reducing cravings to food or an addictive substance
INVENTOR(S): Luscombe, Graham Paul; Needham, Patricia Lesley
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002391	A2	20010111	WO 2000-EP5735	20000621
WO 2001002391	A3	20010712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1198234	A2	20020424	EP 2000-943852	20000621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503491	T2	20030128	JP 2001-507828	20000621

PRIORITY APPLN. INFO.: GB 1999-15616 A 19990705
WO 2000-EP5735 W 20000621
OTHER SOURCE(S): MARPAT 134:95527
GI



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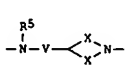
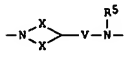


Q1



Q2

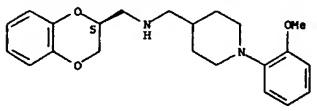
AB Compds. I [A, B = CH2, O; g = 0-4; R1 = halo, (substituted) alkyl,

L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(substituted) alkoxy, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl; U = (alkyl-substituted) alkylene; Q = N(R5)V'NH, Q1, Q2; V = bond, (alkyl-substituted) alkylene; V' = (alkyl-substituted) alkylene; X = bond, alkylene; X' = alkylene; provided that total no. of C atoms in X and X' amts. to 3 or 4; R5 = H, alkyl; T = (substituted) arom. group which optionally contains .gtoreq.1 N atoms, provided that T is not 2-pyrimidinyl when A is O], and pharmaceutically acceptable salts thereof, have utility in reducing cravings to food or an addictive substance.

IT 170352-72-4 170352-80-4 170352-81-5
170352-81-5D, enantiomers 170352-84-8
170352-84-8D, enantiomers 170352-96-2
170352-96-2D, enantiomers 170352-98-4
170352-98-4D, enantiomers 170353-02-3
170353-02-3D, enantiomers 170353-06-7
170353-06-7D, enantiomers 170353-08-9
170353-08-9D, enantiomers 170353-09-0
170353-09-0D, enantiomers 170353-10-3
170353-10-3D, enantiomers 170353-11-4
170353-11-4D, enantiomers 170353-12-5
170353-12-5D, enantiomers 170353-13-6
170353-13-6D, enantiomers 170353-16-9
170353-16-9D, enantiomers 170353-17-0
170353-17-0D, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivs. for reducing cravings to food or addictive substance)

RN 170352-72-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

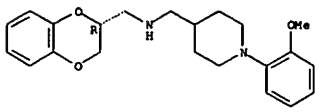
Absolute stereochemistry. Rotation (-).



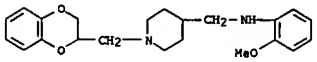
RN 170352-80-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

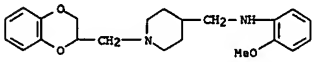
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



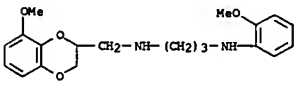
RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

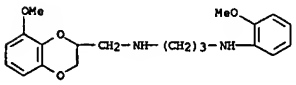


RN 170352-84-8 CAPLUS
CN 1,3-Propanediamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

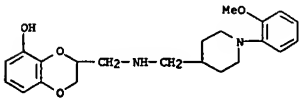


RN 170352-84-8 CAPLUS
CN 1,3-Propanediamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

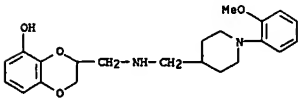
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



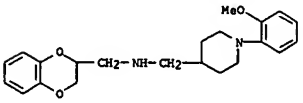
RN 170352-96-2 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 170352-96-2 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)

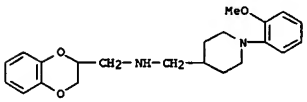


RN 170352-98-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

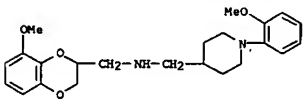


RN 170352-98-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

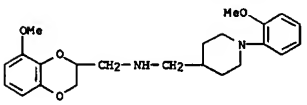
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



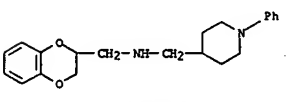
RN 170353-02-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-02-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

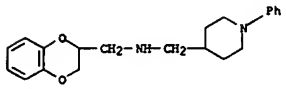


RN 170353-06-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-phenyl- (9CI) (CA INDEX NAME)

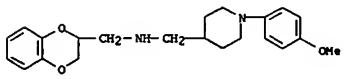


RN 170353-06-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-phenyl- (9CI) (CA INDEX NAME)

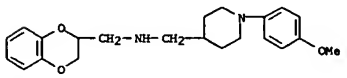
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



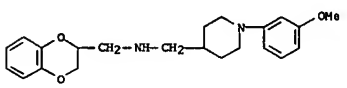
RN 170353-08-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-08-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

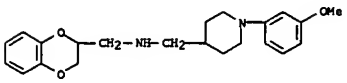


RN 170353-09-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

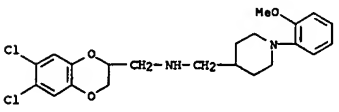


RN 170353-09-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

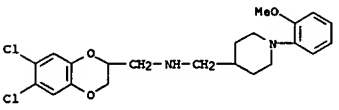
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



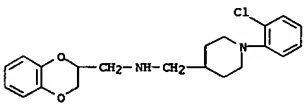
RN 170353-10-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(6,7-dichloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-10-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(6,7-dichloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

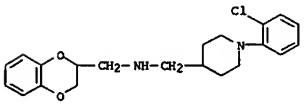


RN 170353-11-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

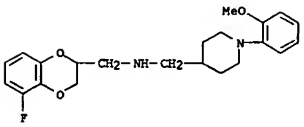


RN 170353-11-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

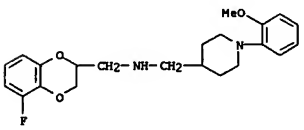
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



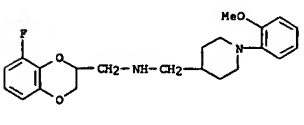
RN 170353-12-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-12-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

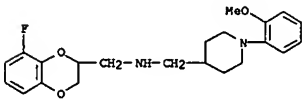


RN 170353-13-6 CAPLUS
CN 4-Piperidinemethanamine, N-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

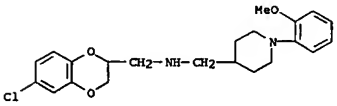


RN 170353-13-6 CAPLUS
CN 4-Piperidinemethanamine, N-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

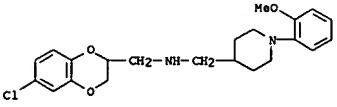
L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



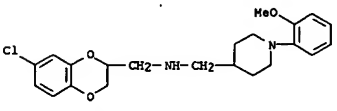
RN 170353-16-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-16-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

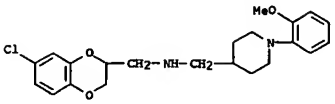


RN 170353-17-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-17-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

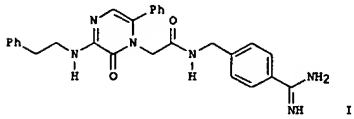


L4 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:824233 CAPLUS
DOCUMENT NUMBER: 134:17500
TITLE: Preparation of arylpyrazinones as coagulation cascade serine protease inhibitors
INVENTOR(S): South, Michael S.; Parlow, John J.; Jones, Dann E.; Case, Brenda; Dice, Tom; Lindmark, Richard; Hayes, Michael J.; Rueppel, Melvin L.; Fenton, Rick; Franklin, Gary W.; Huang, Horng-Chih; Huang, Wei; Kusturin, Carrie; Long, Scott A.; Neumann, William L.; Reitz, David B.; Trujillo, John I.; Wang, Ching-Cheng; Wood, Rhonda; Zeng, Qingping
PATENT ASSIGNEE(S): Monsanto Company, USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069834	A1	20001123	WO 2000-US8225	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1202975	A1	20020508	EP 2000-931916	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000011295	A	20020528	BR 2000-11295	20000518
JP 2002544264	T2	20021224	JP 2000-618251	20000518
NO 2001005605	A	20020118	NO 2001-5605	20011116
PRIORITY APPLN. INFO.:			US 1999-134958P P	19990519
			WO 2000-US8225 W	20000518

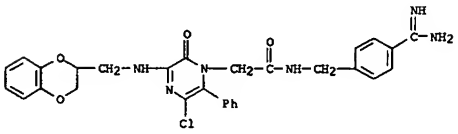
OTHER SOURCE(S): MARPAT 134:17500
GI



I

L4 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compd. I.3HCl was prepd. from H2NCH2CO2CH2Ph. Data for biol. activity of title compds. were given.
IT 308842-30-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpyrazinones as coagulation cascade serine protease inhibitors)
RN 308842-30-0 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-chloro-3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



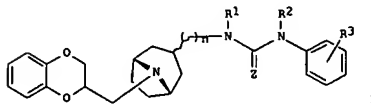
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

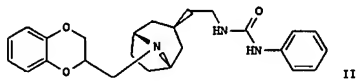
ACCESSION NUMBER: 2000:802451 CAPLUS
DOCUMENT NUMBER: 133:321889
TITLE: New derivatives of 8-([1,4]-benzodioxan-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3-alkyl ureas or imidazolidinones, methods for their preparation, and their therapeutic applications for treating neurodegenerative diseases
INVENTOR(S): Mayer, Patrice; Imbert, Thierry; Marien, Marc
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.
SOURCE: Fr. Demande, 34 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2789681	A1	20000818	FR 1999-1711	19990212
PRIORITY APPLN. INFO.:			FR 1999-1711	19990212

OTHER SOURCE(S): MARPAT 133:321889
GI



I

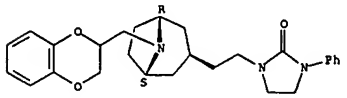


II

AB Title compds. I and their salts are disclosed [wherein Z = O, S; R1, R2 = H, C1-4 alkyl; or R1R2 = CH2CH2; R3 = H, C1-4 alkyl, halo, alkoxy, methylenedioxy, CF3, CN, CONH2, NO2; n = 1 and chain is .beta. to tropane ring; or n = 2 and chain is .alpha. or .beta. to tropane ring]. As .alpha.2-adrenergic receptor antagonists, I are useful for treating a variety of neurodegenerative disorders, as well as hypertension, cerebral ischemic and post-ischemic disorders, depression, narcolepsy, and male sexual dysfunction. Eight examples and their hydrochloride salts were prepd. For instance, bicyclocondensation of 2,5-dimethoxytetrahydrofuran, acetonedicarboxylic acid, and benzodioxane-2-methanamine gave an 8-azabicyclo[3.2.1]octan-3-one deriv. This ketone underwent a series of: (1) treatment with TosMIC to give the 3.beta.-cyano analog; (2) redn. with DIBAL to give the 3.beta.-formyl analog; (3) treatment again with TosMIC to give the 3.beta.-(cyanomethyl) compd.; (4) redn. with LiAlH4 to give the 3.beta.-CH2CH2NH2 deriv.; and (5) reaction with PhNCO, to give title compd. II. This compd. completely inhibited binding of [3H]-2-methoxy-idazoxan to three .alpha.2-receptor subtypes at a concn. of

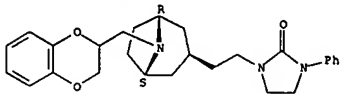
L4 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
10-7 M.
IT **302964-66-5P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]]oct-3.beta.-yl]ethyl]-3-phenylimidazolidin-2-one **302964-72-3P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]]oct-3.beta.-yl]ethyl]-3-phenylimidazolidin-2-one hydrochloride **302964-74-5P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3.beta.-yl]methyl]-3-phenylimidazolidin-2-one **303041-08-9P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3.alpha.-yl]ethyl]-3-phenylimidazolidin-2-one **303041-12-5P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3.alpha.-yl]ethyl]-3-phenylimidazolidin-2-one hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of new (benzodioxanylmethyl)azabicyclooctanealkyl ureas and imidazolidinones as .alpha.2-adrenergic antagonists)
RN 302964-66-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 302964-72-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

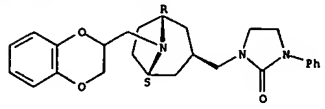


● HCl

RN 302964-74-5 CAPLUS
CN 2-Imidazolidinone, 1-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-

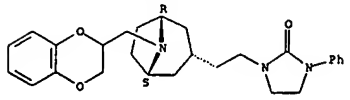
L4 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



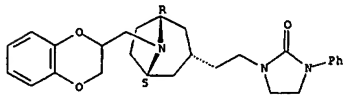
RN 303041-08-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



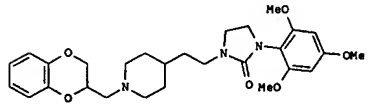
RN 303041-12-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

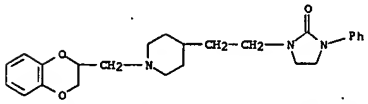
L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:653176 CAPLUS
DOCUMENT NUMBER: 133:362741
TITLE: New Substituted 1-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl Derivatives with .alpha.2-Adrenoceptor Antagonist Activity
AUTHOR(S): Mayer, Patrice; Brunel, Pascale; Chaplain, Celine; Piedecoq, Christel; Calmel, Francis; Schambel, Philippe; Chopin, Philippe; Wurch, Thierry; Pauwels, Petrus J.; Marien, Marc; Vidaluc, Jean-Louis; Imbert, Thierry
CORPORATE SOURCE: Division of Medicinal Chemistry Department of Analytical Chemistry Division of Neurobiology and Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, 81100, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(20), 3653-3664
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:362741
GI



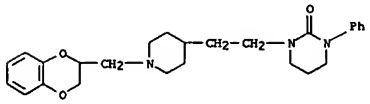
I

AB The emergence of a novel theory concerning the role of noradrenaline in the progression and the treatment of neurodegenerative diseases such as Parkinson's and Alzheimer's diseases has provided a new impetus toward the discovery of novel compds. acting at .alpha.2-adrenoceptors. A series of substituted 1-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)piperidin-4-yl derivs., e.g., I, bearing an amide, urea, or imidazolidinone moiety was studied. Some members of this series of compds. proved to be potent .alpha.2-adrenoceptor antagonists with good selectivity vs. .alpha.1-adrenergic and D2-dopamine receptors. Particular emphasis is given to compd. I which displays potent .alpha.2-adrenoceptor binding affinity in vitro and central effects in vivo following oral administration.
IT **194611-91-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn., binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as .alpha.2-adrenoceptor antagonists)
RN 194611-91-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

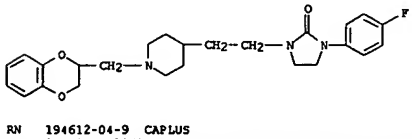
L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **194611-90-0P 194612-00-5P 194612-04-9P 194612-05-0P 194612-07-2P 194612-08-3P 194612-09-4P 194612-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as .alpha.2-adrenoceptor antagonists)
RN 194611-90-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)

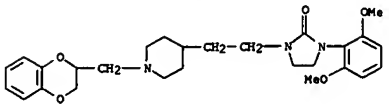


RN 194612-00-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

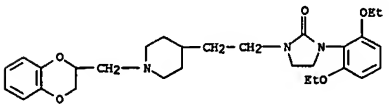


RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



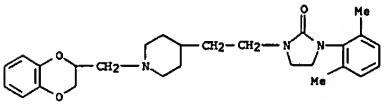
RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 194612-06-1
CMF C27 H35 N3 O3



CM 2

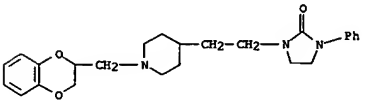
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

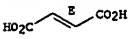
CRN 194611-91-1
CMF C25 H31 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

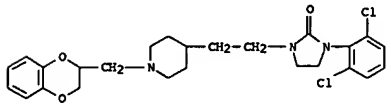
Double bond geometry as shown.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

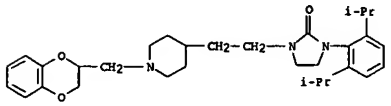
L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

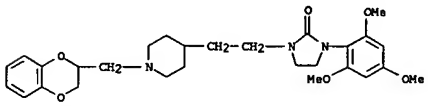


● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



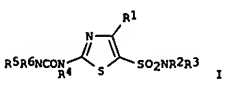
IT 194611-92-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., binding affinity and bioactivity of substituted dihydrobenzodioxinylmethylpiperidines as .alpha.2-adrenoceptor antagonists)
RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

L4 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:646000 CAPLUS
DOCUMENT NUMBER: 133:222725
TITLE: Preparation of thiazolylureas as antivirals
INVENTOR(S): Fischer, Rudiger; Kleymann, Gerald; Baumeister, Judith; Bender, Wolfgang; Betz, Ulrich; Eckenberg, Peter; Handke, Gabriele; Hendrix, Martin; Schneider, Udo; Weber, Olaf; Henninger, Kerstin; Jensen, Axel; Keldenich, Jorg
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053591	A1	20000914	WO 2000-EP1498	20000224
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19959958	A1	20010830	DE 1999-19959958	19991213
EP 1161423	A1	20011212	EP 2000-907614	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539119	T2	20021119	JP 2000-604030	20000224
US 6500817	B1	20021231	US 2001-914554	20010831

PRIORITY APPLN. INFO.: DE 1999-19910245 A 19990308
DE 1999-19959958 A 19991213
WO 2000-EP1498 W 20000224
OTHER SOURCE(S): MARPAT 133:222725
GI



I

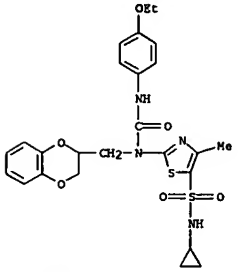
AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, aminoalkyl, haloalkyl; R2, R3 = H, cycloalkyl, haloalkyl, (substituted) alkyl; R2R3N = 5-6 membered heterocyclyl; R4 = H, acyl, alkenyl, (substituted) alkyl; R5 = H, alkyl; R6 = (substituted) Ph, 5-6 membered heteroaryl, 3-8 membered nonarom. (bi)heterocyclyl, etc.], were prepd. Thus, 2-[[2-(dimethylamino)ethyl]amino]-N,4-dimethyl-1,3-thiazol-5-sulfonamide and 4-ethoxyphenyl isocyanate were stirred 12 h in dioxane to give 75% 2-[(2-(dimethylamino)ethyl][(4-ethoxyanilino)carbonyl]amino]-N,4-dimethyl-1,3-thiazol-5-sulfonamide. The latter inhibited HSV-1 in Vero cells with IC50 = 0.2 .mu.M.

L4 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT **292136-99-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazolylureas as antivirals)

RN 292136-99-3 CAPLUS

CN 5-Thiazolesulfonamide, N-cyclopropyl-2-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl][[(4-ethoxyphenyl)amino]carbonyl]amino]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

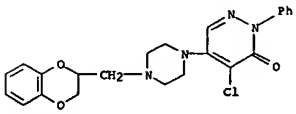
ACCESSION NUMBER: 2000:9453 CAPLUS
DOCUMENT NUMBER: 132:146162
TITLE: Comparative molecular field analysis of some pyridazinone-containing .alpha.1-antagonists
AUTHOR(S): Cinone, N.; Carrieri, A.; Strappaghetti, G.; Corsano, S.; Barbaro, R.; Carotti, A.
CORPORATE SOURCE: Dipartimento Farmaco-Chimico, Universita di Bari, Bari, 70125, Italy
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(11), 2615-2620
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Diverse series of piperazines linked at N1 to 4, 5, or 6 positions of 3-(2H)-pyridazinone ring and at N4, by a suitable alkyl spacer, to some classical .alpha.1-adrenoceptor pharmacophore moieties, were tested in vitro for their .alpha.1-adrenoceptor antagonist activity. The modeling of their biol. activity (pKb) by comparative mol. field anal. led to the development of a statistically significant partial least squares (PLS) model able to detect at 3-D level the main physicochem. interactions responsible for .alpha.1-adrenoceptor antagonist activity.

IT **153276-38-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(comparative mol. field anal. of some pyridazinone-contg. .alpha.1-antagonists)

RN 153276-38-1 CAPLUS

CN 3(2H)-Pyridazinone, 4-chloro-5-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

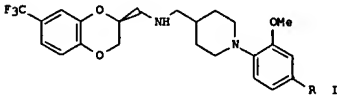
ACCESSION NUMBER: 1999:784097 CAPLUS
DOCUMENT NUMBER: 132:12314
TITLE: Preparation of N-benzodioxanylmethyl-1-piperidylmethylamine compounds having affinity for 5-HT receptors
INVENTOR(S): Wishart, Neil; Birch, Alan Martin
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962902	A1	19991209	WO 1999-EP3648	19990526
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2333756	AA	19991209	CA 1999-2333756	19990526
AU 9943695	A1	19991220	AU 1999-43695	19990526
BR 9910927	A	20010220	BR 1999-10927	19990526
EP 1087964	A1	20010404	EP 1999-926434	19990526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BG 104988	A	20011130	BG 2000-104988	20001127
NO 2000006041	A	20001129	NO 2000-6041	20001129
HR 2001000005	A1	20011231	HR 2001-5	20010102

PRIORITY APPLN. INFO.: GB 1998-11879 A 19980603
WO 1999-EP3648 W 19990526

OTHER SOURCE(S): MARPAT 132:12314

GI



AB Prepn. of the title compds. I (R = H, F) and their affinity for 5-HT receptors are described.

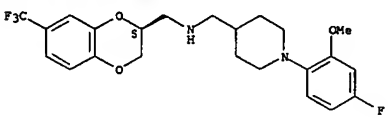
IT **251467-66-0P 251467-67-1P 251467-68-2P 251467-69-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-benzodioxanylmethyl-1-piperidylmethylamine and their affinity for 5-HT receptors)

RN 251467-66-0 CAPLUS

CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-1-(4-fluoro-2-methoxyphenyl)-, dihydrochloride

L4 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

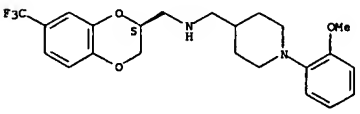


●2 HCl

RN 251467-67-1 CAPLUS

CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

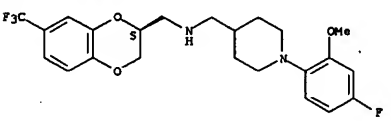


●2 HCl

RN 251467-68-2 CAPLUS

CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-1-(4-fluoro-2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

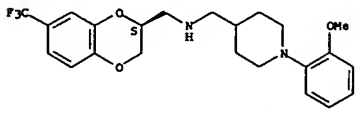


RN 251467-69-3 CAPLUS

CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-7-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

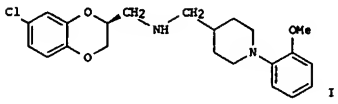
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:499944 CAPLUS
DOCUMENT NUMBER: 131:280998
TITLE: N-Substituted (2,3-Dihydro-1,4-benzodioxin-2-yl)methylamine Derivatives as D2 Antagonists/5-HT1A Partial Agonists with Potential as Atypical Antipsychotic Agents
AUTHOR(S): Birch, Alan M.; Bradley, Paul A.; Gill, Julie C.; Kerrigan, Frank; Needham, Pat L.
CORPORATE SOURCE: Research and Development Department, Knoll Pharmaceuticals, Nottingham, NG1 1GF, UK
SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3342-3355
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:280998
GI



I

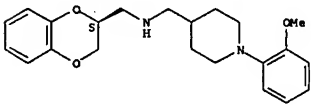
AB A series of N-substituted 1-(2,3-dihydro-1,4-benzodioxin-2-yl)methylamine derivs. with D2 antagonist/5-HT1A partial agonist activity has been prepd. as potential atypical antipsychotic agents. Optimization of in vitro receptor binding activity and in vivo activity in rodent models of psychosis has led to a compd. (I) which showed good affinities for human D2, D3, and 5-HT1A receptors but significantly less affinity for human .alpha.1 adrenoceptors and rat H1 and muscarinic receptors. In rodents, I showed functional D2-like antagonism and 5-HT1A partial agonism. After oral dosing, I showed good activity in rodent antipsychotic tests and very little potential to cause extrapyramidal side effects (EPS), as measured by its ability to induce catalepsy in rats only at very high doses. In the light of this promising profile of activity, I has been selected for clin. investigation as a novel antipsychotic agent with a predicted low propensity to cause EPS.

IT 170352-72-4P 170352-78-0P 170352-80-4P
170352-82-6P 170352-96-2P 170353-08-9P
170353-09-0P 170353-11-4P 246265-97-4P
246517-66-8P, BTS 79018
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for .alpha.1

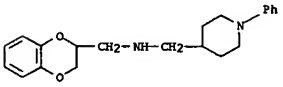
L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
adrenoceptors)

RN 170352-72-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



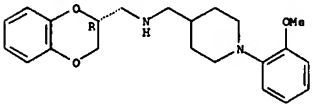
RN 170352-78-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 170352-80-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

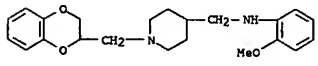


RN 170352-82-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 170352-81-5
CMF C22 H28 N2 O3

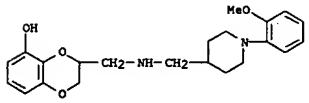
L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



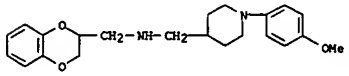
CM 2

CRN 144-62-7
CMF C2 H2 O4

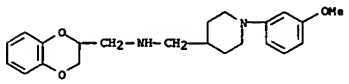
RN 170352-96-2 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 170353-08-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

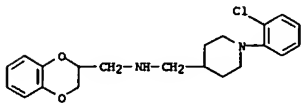


RN 170353-09-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



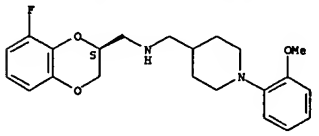
RN 170353-11-4 CAPLUS

L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 4-Piperidinemethanamine, 1-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



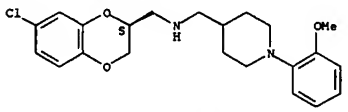
RN 246265-97-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



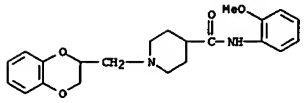
RN 246517-66-8 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

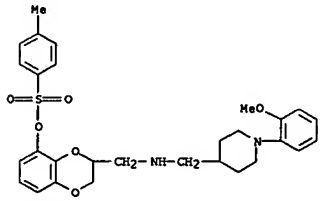


IT 170353-42-1P 170353-59-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for .alpha.1 adrenoceptors)
RN 170353-42-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

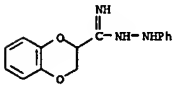


RN 170353-59-0 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]-, 4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:376703 CAPLUS
DOCUMENT NUMBER: 131:116058
TITLE: An improved method for the preparation of amidines via thiophenylimidic esters
AUTHOR(S): Baati, Rachid; Gouverneur, Veronique; Mioskowski, Charles
CORPORATE SOURCE: Laboratoire Synthese Bio-Organique, Faculte Pharmacie, Univ. Louis Pasteur, Illkirch-Graffenstaden, F-67401, Fr.
SOURCE: Synthesis (1999), (6), 927-929
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:116058
AB Reaction of PhSH with nitriles yields thioimidate.HBr salts which were converted to amidines on treatment with amines.
IT 233605-11-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amidines via thiophenylimidic esters)
RN 233605-11-3 CAPLUS
CN 1,4-Benzodioxin-2-carboximidic acid, 2,3-dihydro-, 2-phenylhydrazide, monohydrobromide (9CI) (CA INDEX NAME)



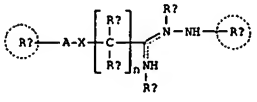
● HBr

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:27808 CAPLUS
DOCUMENT NUMBER: 130:81527
TITLE: Preparation of novel amidrazone derivatives having antifungal activity
INVENTOR(S): Kageyama, Shunji; Kontani, Toru; Fujii, Masahiro; Igarashi, Kiyoshi; Yamamoto, Osamu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858905	A1	19981230	WO 1998-JP2817	19980624
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9879330	A1	19990104	AU 1998-79330	19980624
PRIORITY APPLN. INFO.:			JP 1997-168354	19970625
			WO 1998-JP2817	19980624

OTHER SOURCE(S): MARPAT 130:81527
GI



I

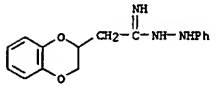
AB Amidrazone derivs. of formula [I; wherein the ring Ra represents: (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon, (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S, (3) an optionally substituted and optionally cross-linked cycloalkyl, or (4) an optionally substituted and optionally cross-linked cycloalkenyl; the ring Rb represents (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon or (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S; one of Rc and Rd represents H and the other is not present; Re represents H or OH; Rf represents H or lower alkyl, or YRa1; the dotted line "...." represents a single bond or a double bond; n is 1 to 8; A represents a bond or a lower alkylene optionally substituted by a lower alkyl; and X represents a bond, CO, CO2, CONRg, COCONRg1, CH:CHCONRg2, NRg3, NRg4CO, NRg5CO2, NRg6CONRg7, O, O2C, O2CNRg8, OCH2CONRg9, S, SO, SO2, SO2NRg10, or SO2NRg11CO; wherein Rg and Rg1 - Rg11 represent H, lower alkyl, or YRa2; Ra1 and Ra2 represents the same group as Ra; Y represents

L4 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
a single bond, CH2, or CO; a proviso given] or pharmaceutically acceptable salts thereof are prepd. Also claimed are pharmaceutical compns. thereof and a method for prevention or treatment of fungal or deep fungal infection by administration of I. These compds. I are useful for the treatment or prevention of fungal infection, in particular, deep fungal infection attributable to fungi, such as Candida, Aspergillus, and Cryptococcus. Thus, 2-(2-chloro-5-fluoro-6-oxo-1,6-dihydropyrimidin-1-yl)acetonitrile was treated with EtOH and HCl(g) in CHCl3 at 5.degree. for 2 days to give a crude imidate which was condensed with 4-chlorophenylhydrazine hydrochloride in EtOH in the presence of EtONa at room temp. overnight to give the title compd., 2-pyrimidinyl-N-phenylacetamidrazone (II). II showed 80% min. inhibitory concn. of 0.31, 0.31, and 0.63 .mu.g/mL against Candida albicans TIMM1768, Cryptococcus neoformans TIMM0362, and Aspergillus fumigatus TIMM1776, resp.

IT 218920-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel amidrazone derivs. having antifungal activity)

RN 218920-45-7 CAPLUS

CN 1,4-Benzodioxin-2-ethanimidic acid, 2,3-dihydro-, 2-phenylhydrazide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

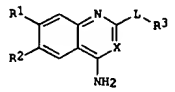
ACCESSION NUMBER: 1998:721497 CAPLUS
DOCUMENT NUMBER: 130:3852
TITLE: Quinoline and quinazoline compounds useful in therapy of benign prostatic hyperplasia
INVENTOR(S): Collis, Alan John; Fox, David Nathan Abraham
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 875506	A1	19981104	EP 1998-302968	19980416
EP 875506	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 233242	E	20030315	AT 1998-302968	19980416
ES 2190809	T3	20030816	ES 1998-302968	19980416
CA 2236239	AA	19981101	CA 1998-2236239	19980429
CA 2236239	C	20030318		
BR 9801506	A	20000208	BR 1998-1506	19980429
JP 10316664	A2	19981202	JP 1998-121990	19980501
JP 3076786	B2	20000814		
MX 9803607	A	20000131	MX 1998-3607	19980504
US 2003045525	A1	20030306	US 2002-252852	20020923

PRIORITY APPLN. INFO.: GB 1997-8917 A 19970501
US 1998-67608 B1 19980428
US 2000-591195 B1 20000609

OTHER SOURCE(S): MARPAT 130:3852

GI



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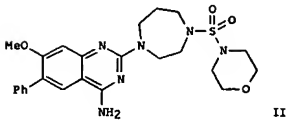


II

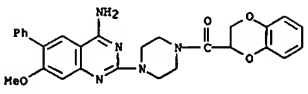
L4 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compds. I [wherein R1 = C1-4 alkoxy (un)substituted by 1 or more F atoms; R2 = aryl or heteroaryl, (un)substituted by C1-4 alkyl or SO2NH2; R3 = 4-, 5-, 6-, or 7-membered heterocyclic ring contg. at least 1 heteroatom selected from N, O, and S, the ring being optionally fused to a benzene ring or a 5- or 6-membered heterocyclic ring contg. at least 1 heteroatom selected from N, O, and S, the ring system as a whole being (un)substituted by OH, C1-4 alkyl, C1-4 alkoxy, halo, and/or NHSO2-(C1-4 alkyl); X = CH or N; L = certain cyclic or chain amino groups; or L may be absent] and their pharmaceutically acceptable salts are useful in the treatment of a variety of disorders including benign prostatic hyperplasia (no data). Examples include syntheses of approx. 20 compds. I and a variety of intermediates. For instance, 5-hydroxy-4-methoxy-2-nitrobenzoic acid was converted to the Me ester (87%), followed by conversion to the 5-triflate (85%), Pd-catalyzed phenylation of the latter (99%), redn. of the nitro group to amino (99%), and 2-step cyclization with sodium cyanate (91%), to give 7-methoxy-6-phenylquinazoline-2,4-dione. Treatment of this with POCl3 and then methanolic NH3 gave 55% 4-amino-2-chloro-7-methoxy-6-phenylquinazoline, which was condensed with 1-(4-morpholinesulfonyl)-1,4-diazepane HCl (16%) to give title compd. II.HCl.

IT 215659-10-2P, 4-Amino-2-[4-(1,4-benzodioxan-2-carbonyl)-1,4-piperazin-1-yl]-7-methoxy-6-phenylquinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; prepn. of quinoline and quinazoline derivs. for therapy of benign prostatic hyperplasia)

RN 215659-10-2 CAPLUS

CN Piperazine, 1-(4-amino-7-methoxy-6-phenyl-2-quinazolinyl)-4-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

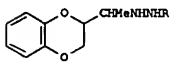


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:256790 CAPLUS
DOCUMENT NUMBER: 128:321604
TITLE: Oxygen-containing heterocycles. Part XVII. Synthesis of [1-(1,4-benzodioxan-2-yl)ethyl]hydrazine and its N-phenyl derivative
AUTHOR(S): Avakyan, A. S.; Vartanyan, S. O.; Markaryan, E. A.
CORPORATE SOURCE: Inst. Tonk. Org. Khim. im. Mndzhoyan, NAN, Yerevan, Armenia
SOURCE: Khimicheskii Zhurnal Armenii (1997), 50(1-2), 96-102
CODEN: KZARF3
PUBLISHER: Izdatel'stvo Gitutyun NAN Respubliki Armenii
DOCUMENT TYPE: Journal
LANGUAGE: Russian

GI



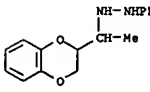
I

AB Title compd. I (R = H) is prepd. in 3 ways from 2-acetyl-1,4-benzodioxan. 2-Acetyl-1,4-benzodioxan phenylhydrazone is also prepd. It is reduced with NaBH4 to I (R = Ph).

IT 206756-35-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 206756-35-6 CAPLUS

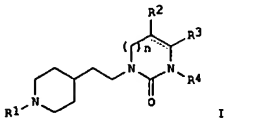
CN Hydrazine, 1-[1-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



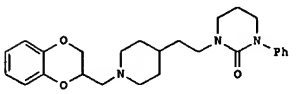
L4 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:533644 CAPLUS
DOCUMENT NUMBER: 127:205479
TITLE: Novel piperidine derivatives 4-substituted by an imidazolidin-2-on-1-ylethyl, tetrahydropyrimidin-2-on-1-ylethyl, or 1,3-diazepin-2-on-1-ylethyl group, and their use as .alpha.2 adrenergic receptor antagonists
INVENTOR(S): Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Vidaluc, Jean-Louis; Imbert, Thierry; Marien, Marc; Briley, Michael
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728157	A1	19970807	WO 1997-FR179	19970130
W: AU, BR, CA, CN, JP, KR, MX, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2744451	A1	19970808	FR 1996-1220	19960201
FR 2744451	B1	19980424		
AU 9716061	A1	19970822	AU 1997-16061	19970130
PRIORITY APPLN. INFO.:			FR 1996-1220	19960201
			WO 1997-FR179	19970130

OTHER SOURCE(S): MARPAT 127:205479
GI



I

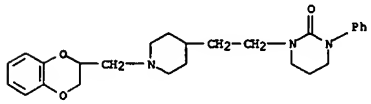


II

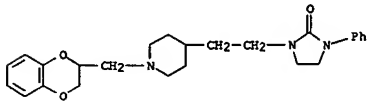
AB Novel cyclic urea derivs. of 4-ethylpiperidine, having general formula I [R1 = (1,4-benzodioxan-2-yl)methyl, (2H-benzopyran-3-yl)methyl, or 4-(chromanone-2-yl)methyl; R2, R3 = H, or R2R3 = benzo fusion; R4 = H, C1-4 alkyl, (un)substituted aryl, heteroaryl, aralkyl, or naphthyl; n = 0-2], and their salts and prepn. methods, are disclosed. The use of the compds. as drugs, pharmaceutical compns. contg. them, and prepn. methods for the compns. are also disclosed. The compds. are useful for treatment of a wide variety of medical conditions. For instance, N-alkylation of 4-(2-hydroxyethyl)piperidine by 2-(bromomethyl)-1,4-benzodioxane (69%), conversion of the product alc. to a chloride (94%) by SOCl2, and coupling of the latter with 1-phenyltetrahydro-2(1H)-pyrimidinone (69%) using NaH in AcNMe2, gave title compd. II. In a test for inhibition of guanabenz-induced hypothermia in mice, II had an oral ED50 of 0.28 mg/kg, vs. 0.69 for idazoxan and 1.23 for yohimbine.

IT 194611-90-0P 194611-91-1P 194611-92-2P
194612-00-5P 194612-01-6P 194612-04-9P
194612-05-0P 194612-06-1P 194612-07-2P
194612-08-3P 194612-09-4P 194612-10-7P
194612-26-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine derivs. as .alpha.2 adrenergic antagonists)

RN 194611-90-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



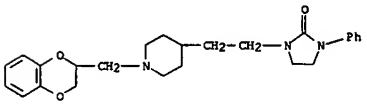
RN 194611-91-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 194611-92-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

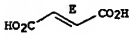
L4 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CRN 194611-91-1
CMF C25 H31 N3 O3



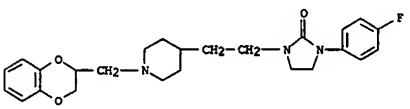
CM 2

CRN 110-17-8
CMF C4 H4 O4

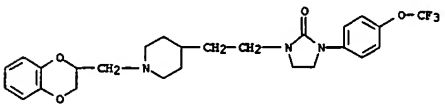
Double bond geometry as shown.



RN 194612-00-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

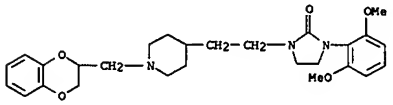


RN 194612-01-6 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

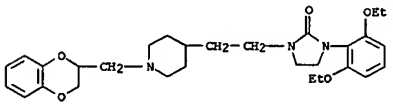


RN 194612-04-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

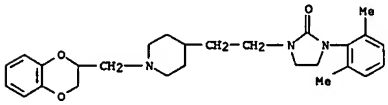
L4 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 194612-05-0 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-diethoxyphenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



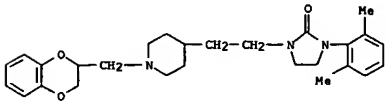
RN 194612-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 194612-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,6-dimethylphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

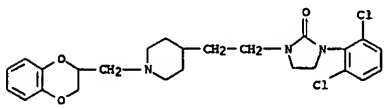
CRN 194612-06-1
CMF C27 H35 N3 O3



L4 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 2

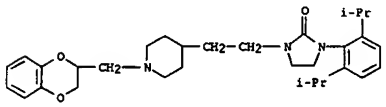
CRN 144-62-7
CMF C2 H2 O4

RN 194612-08-3 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



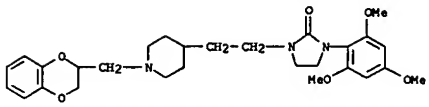
● HCl

RN 194612-09-4 CAPLUS
CN 2-Imidazolidinone, 1-[2,6-bis(1-methylethyl)phenyl]-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

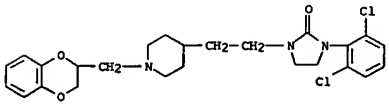


RN 194612-10-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]-3-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 194612-26-5 CAPLUS
CN 2-Imidazolidinone, 1-(2,6-dichlorophenyl)-3-[2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1997:506728 CAPLUS
DOCUMENT NUMBER:	127:121749
TITLE:	Preparation of quinolines and quinazolines for treatment of benign prostatic hyperplasia
INVENTOR(S):	Collis, Alan John; Fox, David Nathan Abraham; Newman, Julie
PATENT ASSIGNEE(S):	Pfizer Research and Development Company, N.V./S.A, UK; Pfizer Inc.; Collis, Alan John; Fox, David Nathan Abraham; Newman, Julie
SOURCE:	PCT Int. Appl., 78 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723462	A1	19970703	WO 1996-EP5609	19961205
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713719	A1	19970717	AU 1997-13719	19961205
AU 708979	B2	19990819		
EP 877734	A1	19981118	EP 1996-943954	19961205
EP 877734	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI, RO				
CN 1205693	A	19990120	CN 1996-199303	19961205
BR 9612263	A	19990713	BR 1996-12263	19961205
AT 194598	E	20000715	AT 1996-943954	19961205
JP 3070958	B2	20000731	JP 1997-523272	19961205
JP 11501668	T2	19990209		
ES 2151192	T3	20001216	ES 1996-943954	19961205
CA 2236814	C	20010918	CA 1996-2236814	19961205
ZA 9610784	A	19980622	ZA 1996-10784	19961220
US 6103738	A	20000815	US 1998-91370	19980617
NO 9802913	A	19980730	NO 1998-2913	19980622
US 2002049322	A1	20020425	US 2001-812083	20010319
US 6642242	B2	20031104		

PRIORITY APPLN. INFO.:	GB 1995-26546	A	19951223
	WO 1996-EP5609	W	19961205
	US 2000-613500	B1	20000710

OTHER SOURCE(S): MARPAT 127:121749
GI

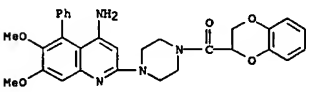
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = C1-4 alkoxy optically substituted by one or more F atoms; R2 = H, C1-6 alkoxy optionally substituted by one or more F atoms; R3 = H, halo, C1-4 alkoxy, CF3; R2R3 = OCH2, the methylene group being attached to the ortho-position of the pendant Ph ring; R4 = 4-6-membered heterocyclic ring contg. 1-2 heteroatoms selected from N, O and S, the ring being optionally fused to a benzene ring, (un)substituted 5-6-membered heterocyclic ring contg. 1-2 heteroatoms selected from N, O

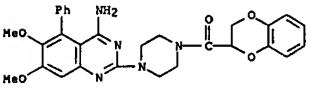
L4 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
and S; X = CH, N; L = a bond, II (wherein N is attached to the 2-position of the quinoline or quinazoline ring; A = a bond, CO, SO2; Z = CH, N; m = 0-2; n = 1-3), N(R6)(CH2)pZ'(R7)A' (wherein N is attached to the 2-position of the quinoline or quinazoline ring; A', Z' = A, Z; R6, R7 = H, C1-4 alkyl; p = 0-3)], useful in the treatment of inter alia benign prostatic hyperplasia, were prepd. Thus, reacting N-benzyl-3S,4S-bis(tert-butyldimethylsilyloxy)pyrrolidine with phosgene in PhMe followed by treatment of the intermediate with homopiperazine in THF, and reaction of the resulting 1-(1-[3S,4S-bis(tert-butyldimethylsilyloxy)pyrrolidine]carbonyl)-1,4-diazepane with 4-amino-2-chloro-6,7-dimethoxy-5-phenylquinazoline in the presence of Et3N in n-BuOH afforded (3S,4S)-III.HCl which showed pA2 of 8.5.

IT 192868-50-1P 192868-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolines and quinazolines for treatment of benign prostatic hyperplasia)

RN 192868-50-1 CAPLUS
CN Piperazine, 1-(4-amino-6,7-dimethoxy-5-phenyl-2-quinolinyl)-4-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 192868-64-7 CAPLUS
CN Piperazine, 1-(4-amino-6,7-dimethoxy-5-phenyl-2-quinazolinyl)-4-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

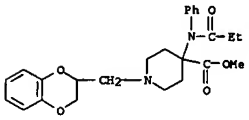


L4 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:204781 CAPLUS
DOCUMENT NUMBER: 126:180817
TITLE: Eccentric Connectivity Index: A Novel Highly Discriminating Topological Descriptor for Structure-Property and Structure-Activity Studies
AUTHOR(S): Sharma, Vikas; Goswami, Reena; Madan, A. K.
CORPORATE SOURCE: Ranbaxy Research Laboratories, Gurgaon, 122001, India
SOURCE: Journal of Chemical Information and Computer Sciences (1997), 37(2), 273-282
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel, distance-cum-adjacency topol. descriptor, termed as eccentric connectivity index, has been conceptualized, and its discriminating power has been investigated with regard to phys./biol. properties of mols. Correlation coeffs. ranging from 95% to 99% were obtained using eccentric connectivity index in various datasets with regard to phys. properties of diverse nature. These correlations were far superior to those correspondingly derived from the Wiener index. For structure-activity studies, a dataset, comprised of 94 substituted piperidinyl Me ester and methylene Me ester analogs as analgesic agents, was selected. Values of the eccentric connectivity index, the Wiener index, and Randic's mol. connectivity index were calcd., and active ranges were identified. Good correlations between topol. descriptors and analgesic activity of these analogs were obtained. Eccentric connectivity index exhibited highest predictability of the order of 86%. High discriminating power as revealed by excellent correlations obtained from structure-property and structure-activity studies offers an eccentric connectivity index of vast potential in QSPR/QSAR.

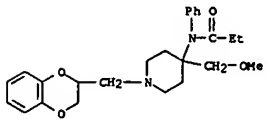
IT 131728-89-7 131728-91-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(eccentric connectivity index as novel highly discriminating topol. descriptor for structure-property and structure-activity studies as applied to piperidinyl Me esters and methylene Me ester analogs as analgesics)

RN 131728-89-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (9CI) (CA INDEX NAME)

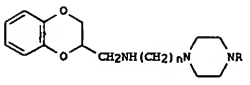


RN 131728-91-1 CAPLUS
CN Propanamide, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

L4 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(methoxymethyl)-4-piperidinyl]-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:701305 CAPLUS
DOCUMENT NUMBER: 126:89336
TITLE: Structure-activity relationship of some 1,4-benzodioxane aryl-piperazine derivatives as .alpha.-blocking agents
AUTHOR(S): Corsano, Stefano; Strappaghetti, Giovannella; Scapicchi, Rossana; Marucci, Gabriella
CORPORATE SOURCE: Istituto Chimica Tecnologia Farmaco, Universita Perugia, Perugia, I-06123, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996), 329(10), 468-470
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

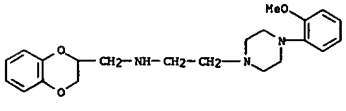


I

AB The synthesis of the benzodioxanes I (n = 2-3; R = 2-MeOC6H4, Ph, 2-ClC6H4, 2-pyridinyl) from 2-aminomethyl-1,4-benzodioxane and the appropriate (4-aryl-1-piperazinyl)alkyl chloride is reported. The blocking activity of these compds. was detd. on the pre- and postsynaptic .alpha.-adrenoceptors of isolated rat vas deferens. Structure-activity relationships are discussed.

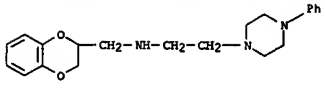
IT 185376-59-4P 185376-60-7P 185376-61-8P 185376-63-0P 185376-64-1P 185376-65-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity relationship of benzodioxane arylpiperazine derivs. as .alpha.-blockers)

RN 185376-59-4 CAPLUS
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

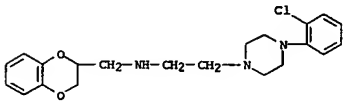


RN 185376-60-7 CAPLUS
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-phenyl- (9CI) (CA INDEX NAME)

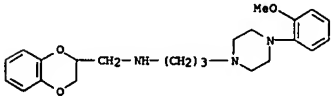
L4 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



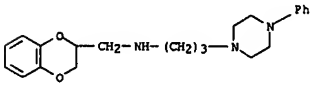
RN 185376-61-8 CAPLUS
CN 1-Piperazineethanamine, 4-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 185376-63-0 CAPLUS
CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

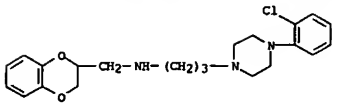


RN 185376-64-1 CAPLUS
CN 1-Piperazinepropanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 185376-65-2 CAPLUS
CN 1-Piperazinepropanamine, 4-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

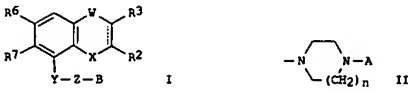
ACCESSION NUMBER: 1996:35000 CAPLUS
DOCUMENT NUMBER: 124:232248
TITLE: Benzopyran derivatives having affinity for .alpha.1-adrenergic and 5HT1A-serotoninergic receptors
INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo
PATENT ASSIGNEE(S): Recordati S.A., Chemical and Pharmaceutical Company, Switz.
SOURCE: U.S., 37 pp. Cont.-in-part of U.S. 5,403,842. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5474994	A	19951212	US 1993-67861	19930526
US 5403842	A	19950404	US 1992-888775	19920526
EP 558245	A1	19930901	EP 1993-301264	19930222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9336296	A1	19930913	AU 1993-36296	19930223
RO 112111	B3	19970530	RO 1994-1404	19930223
PL 175556	B1	19990129	PL 1993-304889	19930223
SK 280143	B6	19990910	SK 1994-1007	19930223
CN 1079738	A	19931222	CN 1993-105852	19930526
CN 1040434	B	19981028		
FI 9403876	A	19940823	FI 1994-3876	19940823
NO 9403140	A	19940825	NO 1994-3140	19940825
US 5605896	A	19970225	US 1994-299188	19940831

PRIORITY APPLN. INFO.: US 1992-888775 A2 19920526
EP 1993-301264 A 19930222
IT 1992-MI408 A 19920225
WO 1993-EP420 A 19930223
US 1993-67861 A2 19930526

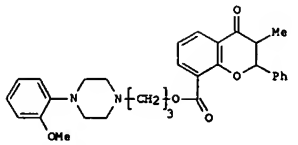
OTHER SOURCE(S): MARPAT 124:232248
GI

L4 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I

II



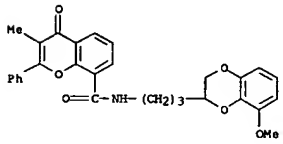
III

AB This invention provides bicyclic heterocyclic derivs. I wherein the dotted line represents a single or double bond; X represents a nitrogen, oxygen or sulfur atom, or an amino or alkylamino group, a sulfinyl or sulfonyl group; W represents a carbonyl, thiocarbonyl, hydroxymethylene, or a methylene group or a bond; or when X is nitrogen and W is a methine, the fused rings represent a quinoline; R2 represents, e.g, a hydrogen atom or an alkyl, alkenyl, alkynyl, carbocyclic or heterocyclic group, each of which groups may optionally be substituted; or R2 itself represents a trifluoromethyl or an aroyl group; R3 represents a hydrogen atom or an alkyl, hydroxyalkyl, alkyl-O-R4 Ph, hydroxy, or O-R4, wherein R4 represents an alkyl group optionally substituted with an aryl group; R6 represents a hydrogen or halogen atom or a nitro, amino, acylamino, alkylsulfonylamino, alkylamino, dialkylamino, cyano, hydroxy, alkoxy or alkyl group; R7 represents a hydrogen atom or an alkoxy group; Y = e.g., CO, COO, CONH; Z represents a linear or branched chain alkylene group having from 1 to 6 carbon atoms and optionally having one hydroxy substituent; B = e.g., II, n = 1 or 2, A = substituted Ph, 2-pyrimidinyl; and their pharmaceutically acceptable salts useful for the treatment of hypertension, urethral and lower urinary tract contractions, and other disorders. The compds. are also useful for binding .alpha.1-adrenergic and 5HT1A serotonergic receptors, in vitro or in vivo. Thus, e.g., esterification of 8-carboxy-3-methyl-4-oxo-2-phenyl-4H-1-benzopyran with 1-(3-chloropropyl)-4-(2-methoxyphenyl)piperazine followed by HCl treatment afforded 8-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propoxycarbonyl]-3-methyl-4-oxo-2-phenyl-4H-1-benzopyran dihydrochloride (III.2HCl) which exhibited IC50's of 20 and 19 nM, resp., for .alpha.1 and 5-HT1A receptor binding. Data were also presented for the effect of I on K+ stimulation of rat bladder strips, and on urethral contractions and blood pressure in dogs.

IT 174765-19-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzopyran derivs. having affinity for .alpha.1-adrenergic and 5HT1A-serotoninergic receptors)

RN 174765-19-6 CAPLUS
CN 4H-1-Benzopyran-8-carboxamide, N-[3-(2,3-dihydro-8-methoxy-1,4-benzodioxin-

L4 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
2-yl)propyl]-3-methyl-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)

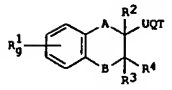


L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:921838 CAPLUS
DOCUMENT NUMBER: 123:340154
TITLE: Preparation of aromatic bicyclic heterocyclic compounds as serotoninergic and dopaminergic receptor antagonists
INVENTOR(S): Kerrigan, Frank; Heal, David John; Martin, Keith Frank
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507274	A1	19950316	WO 1994-EP2904	19940901
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 179168	A	19970906	IN 1994-MA843	19940831
CA 2170056	AA	19950316	CA 1994-2170056	19940901
AU 9476928	A1	19950327	AU 1994-76928	19940901
AU 689802	B2	19980409		
EP 717739	A1	19960626	EP 1994-927531	19940901
EP 717739	B1	20000329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1133043	A	19961009	CN 1994-193808	19940901
CN 1052723	B	20000524		
BR 9407413	A	19961112	BR 1994-7413	19940901
JP 09502431	T2	19970311	JP 1994-508440	19940901
HU 75875	A2	19970528	HU 1996-552	19940901
RU 2136680	C1	19990910	RU 1996-113203	19940901
PL 178270	B1	20000331	PL 1994-313347	19940901
AT 191214	E	20000415	AT 1994-927531	19940901
ES 2144528	T3	20000616	ES 1994-927531	19940901
RO 116811	B1	20010629	RO 1996-406	19940901
IL 110844	A1	19991028	IL 1994-110844	19940902
ZA 9406798	A	19950406	ZA 1994-6798	19940905
BG 63272	B1	20010831	BG 1996-100388	19960229
FI 9601016	A	19960305	FI 1996-1016	19960305
NO 9600888	A	19960305	NO 1996-888	19960305
US 5767116	A	19980616	US 1996-605130	19960605

PRIORITY-APPLN. INFO.: GB 1993-18431 A 19930906
WO 1994-EP2904 W 19940901
OTHER SOURCE(S): MARPAT 123:340154
GI

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

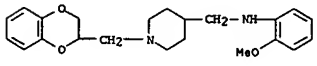


I

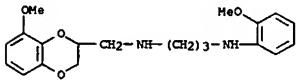
AB The title compds. [I; A, B = CH2, O; Q = N-contg. (un)substituted bridging group; R1 = halogen, (un)substituted alkyl, alkoxy, alkylthio, OH, acyloxy, CN, alkoxycarbonyl, (un)substituted carbamoyl, etc.; R2 = alkyl, alkoxy; R3, R4 = H, alkyl; T = (un)substituted N-contg. heteroaryl, benzofuranyl, benzodioxanyl; U = (un)substituted alkylene; g = 0-4], useful as serotoninergic, adrenergic, and dopaminergic receptor antagonists, are prepd. and I-contg. formulations presented. Thus, N-(1,4-benzodioxan-2-ylmethyl)-1-[1-(3-chloropyrid-2-yl)piperid-4-yl]methylamine 1.4 hydrochloride , m.p. 251-253.degree., was prepd. from 2,3-dichloropyridine and demonstrated a Ki of 1.9 nM against rat brain-derived 5-HT1A receptors.

IT 170352-81-5 170352-84-8 170352-98-4
170353-02-3 170353-06-7 170353-08-9
170353-09-0 170353-10-3 170353-11-4
170353-12-5 170353-13-6 170353-16-9
170353-17-0 170353-18-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compd.; prepn. of arom. bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

RN 170352-81-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

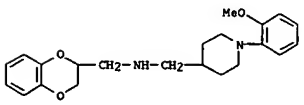


RN 170352-84-8 CAPLUS
CN 1,3-Propanediamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

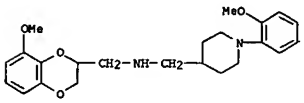


RN 170352-98-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-

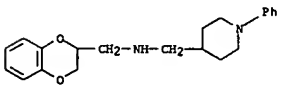
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
methoxyphenyl)- (9CI) (CA INDEX NAME)



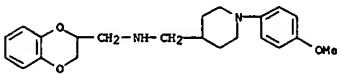
RN 170353-02-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-06-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-phenyl- (9CI) (CA INDEX NAME)

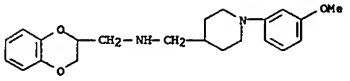


RN 170353-08-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

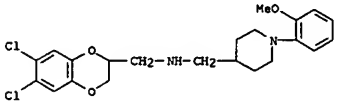


RN 170353-09-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

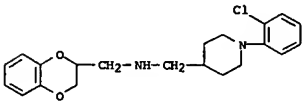
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



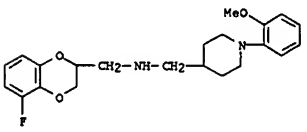
RN 170353-10-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(6,7-dichloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-11-4 CAPLUS
CN 4-Piperidinemethanamine, 1-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

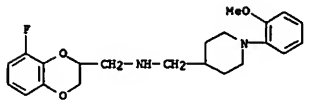


RN 170353-12-5 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

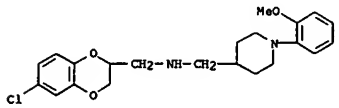


RN 170353-13-6 CAPLUS
CN 4-Piperidinemethanamine, N-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

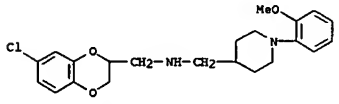
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 170353-16-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

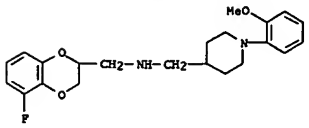


RN 170353-17-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 170353-18-1 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

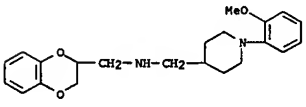
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●x HCl

IT 170352-67-7P 170352-71-3P 170352-72-4P
170352-78-0P 170352-80-4P 170352-82-6P
170352-83-7P 170352-85-9P 170352-86-0P
170352-89-3P 170352-90-6P 170352-91-7P
170352-94-0P 170352-95-1P 170352-96-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

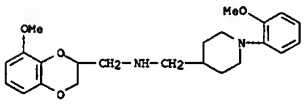
RN 170352-67-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 170352-71-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

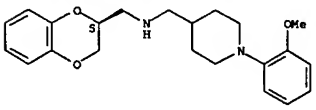
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



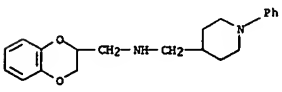
●2 HCl

RN 170352-72-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 170352-78-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

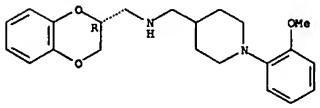


●2 HCl

RN 170352-80-4 CAPLUS
CN 4-Piperidinemethanamine, N-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-1-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

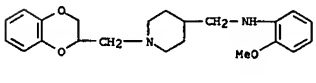
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 170352-82-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

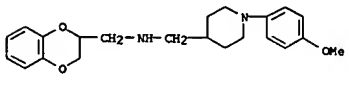
CRN 170352-81-5
CMF C22 H28 N2 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 170352-83-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(4-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



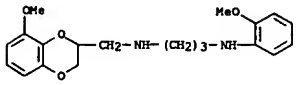
●2 HCl

RN 170352-85-9 CAPLUS
CN 1,3-Propanediamine, N-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-N'-(2-methoxyphenyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

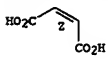
CRN 170352-84-8
CMF C20 H26 N2 O4



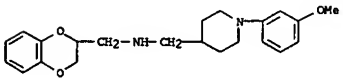
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



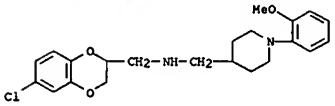
RN 170352-86-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(3-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

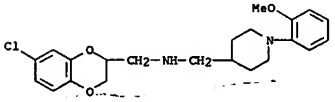
RN 170352-89-3 CAPLUS
CN 4-Piperidinemethanamine, N-[(6,7-dichloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



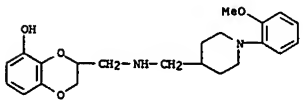
●x HCl

RN 170352-95-1 CAPLUS
CN 4-Piperidinemethanamine, N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



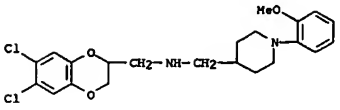
●x HCl

RN 170352-96-2 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)



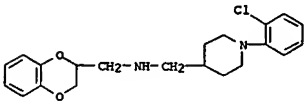
IT 170353-42-1P 170353-59-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arom. bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)
RN 170353-42-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



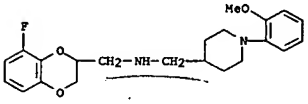
●x HCl

RN 170352-90-6 CAPLUS
CN 4-Piperidinemethanamine, 1-(2-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

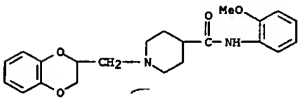
RN 170352-91-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



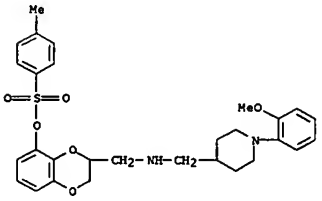
●x HCl

RN 170352-94-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

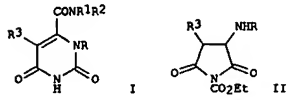
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 170353-59-0 CAPLUS
CN 1,4-Benzodioxin-5-ol, 2,3-dihydro-3-[[[[1-(2-methoxyphenyl)-4-piperidinyl]methyl]amino]methyl]-, 4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)



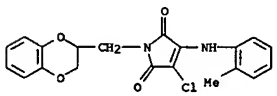
L4 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:338251 CAPLUS
DOCUMENT NUMBER: 122:187523
TITLE: Novel, regiospecific ring-transformation of 1,3-di- or 1,3,4-tri-substituted maleimides. Novel synthesis of 1- and 1,5-substituted orotamides (2,6-dioxo-1,2,3,6-tetrahydropyrimidine-4-carboxamides)
AUTHOR(S): Seres, Jeno; Daroczi-Csuka, Klara; Gall-Istok, Klara; Simon, Kalman; Szilagyi, Ildiko
CORPORATE SOURCE: CHINOIN Pharm. Chem. Works Ltd., Budapest, H-1325, Hung.
SOURCE: Journal of Chemical Research, Synopses (1995), (1), 14-15
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Eighty-three orotamides I (R = acyl, R1R2N = NH2, HONH, alkyl-, aryl-, or cycloalkylamino, glycine residue, 1-pyrrolidinyl, piperidino, etc., R3 = H, Ph, PhCH2S, Cl) were prepd. by a new, base-catalyzed ring transformation of maleimides II. A mechanism for the reaction is proposed. The crystal structure of 1-phenylorotamide monohydrate was detd.

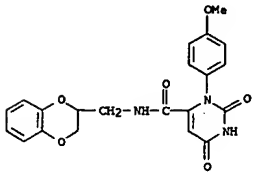
IT **161769-63-7P 161769-97-7P 161769-99-9P**
161770-04-3P 161770-07-6P 161770-15-6P
161770-16-7P 161770-30-5P 161770-33-8P
161770-37-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of dioxotetrahydropyrimidinecarboxamides by ring transformation of maleimides)

RN 161769-63-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-chloro-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)

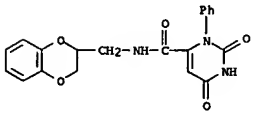


L4 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

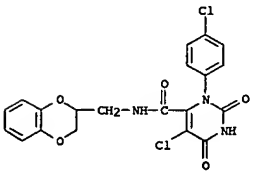
RN 161769-97-7 CAPLUS
CN 4-Pyrimidinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-3-(4-methoxyphenyl)-2,6-dioxo- (9CI) (CA INDEX NAME)



RN 161769-99-9 CAPLUS
CN 4-Pyrimidinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-2,6-dioxo-3-phenyl- (9CI) (CA INDEX NAME)

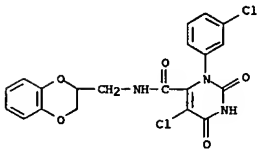


RN 161770-04-3 CAPLUS
CN 4-Pyrimidinecarboxamide, 5-chloro-3-(4-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-2,6-dioxo- (9CI) (CA INDEX NAME)

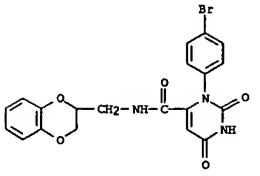


L4 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

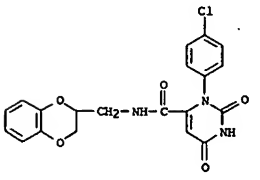
RN 161770-07-6 CAPLUS
CN 4-Pyrimidinecarboxamide, 5-chloro-3-(3-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-2,6-dioxo- (9CI) (CA INDEX NAME)



RN 161770-15-6 CAPLUS
CN 4-Pyrimidinecarboxamide, 3-(4-bromophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-2,6-dioxo- (9CI) (CA INDEX NAME)



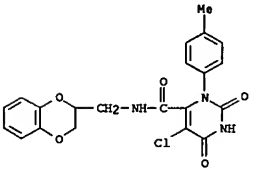
RN 161770-16-7 CAPLUS
CN 4-Pyrimidinecarboxamide, 3-(4-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-2,6-dioxo- (9CI) (CA INDEX NAME)



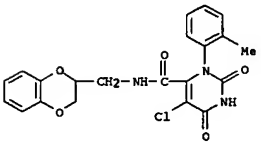
RN 161770-30-5 CAPLUS

L4 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

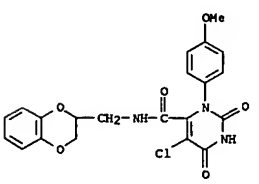
CN 4-Pyrimidinecarboxamide, 5-chloro-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-3-(4-methylphenyl)-2,6-dioxo- (9CI) (CA INDEX NAME)



RN 161770-33-8 CAPLUS
CN 4-Pyrimidinecarboxamide, 5-chloro-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-3-(2-methylphenyl)-2,6-dioxo- (9CI) (CA INDEX NAME)



RN 161770-37-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 5-chloro-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-3-(4-methoxyphenyl)-2,6-dioxo- (9CI) (CA INDEX NAME)

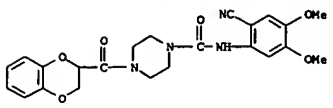


L4 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:557669 CAPLUS
DOCUMENT NUMBER: 121:157669
TITLE: Methods of making ureas and guanidines, including, terazosin, prazosin, doxazosin, tiodazosin, trimazosin, quinazosin and bunazosin
INVENTOR(S): Karimian, Khashayar; Murthy, Keshava; Hall, Darren
PATENT ASSIGNEE(S): Acic (Canada) Inc., Can.
SOURCE: Can. Pat. Appl., 107 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2077252	AA	19940301	CA 1992-2077252	19920831
CA 2077252	C	20010410		
WO 9405628	A1	19940317	WO 1993-CA355	19930826
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9349385	A1	19940329	AU 1993-49385	19930826
EP 656885	A1	19950614	EP 1993-918837	19930826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5675006	A	19971007	US 1995-453818	19950530
US 5686612	A	19971111	US 1995-453093	19950530
US 6080860	A	20000627	US 1997-939414	19970929

PRIORITY APPLN. INFO.: CA 1992-2077252 A 19920831
US 1993-4114 B3 19930113
WO 1993-CA355 W 19930826
US 1995-453093 A3 19950530
OTHER SOURCE(S): MARPAT 121:157669
AB Novel methods for the prepn. of substituted ureas and guanidines including terazosin, prazosin, Doxazosin, tiodazosin, trimazosin, quinazosin and bunazosin (exemplary of 2-amino substituted quinazolines), Meobentine and bethanidine and novel intermediates suitable for use in such methods of prepn. are taught.
IT 157459-59-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for doxazosin)
RN 157459-59-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(2-cyano-4,5-dimethoxyphenyl)-4-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

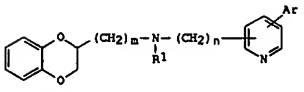
L4 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



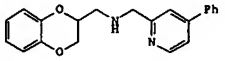
L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:270425 CAPLUS
DOCUMENT NUMBER: 120:270425
TITLE: 1,4-Benzodioxane derivatives and their preparation, pharmaceutical formulations, and use as CNS agents
INVENTOR(S): Boettcher, Henning; Seyfried, Christoph; Greiner, Hartmut; Bartoszyk, Gerd
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4226527	A1	19940217	DE 1992-4226527	19920811
EP 586866	A2	19940316	EP 1993-112134	19930729
EP 586866	A3	19940413		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2103601	AA	19940212	CA 1993-2103601	19930809
NO 9302842	A	19940214	NO 1993-2842	19930810
AU 9344562	A1	19940217	AU 1993-44562	19930810
JP 06184140	A2	19940705	JP 1993-198513	19930810
CN 1085217	A	19940413	CN 1993-109483	19930811

PRIORITY APPLN. INFO.: DE 1992-4226527 19920811
OTHER SOURCE(S): MARPAT 120:270425
GI



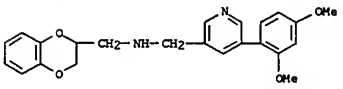
I



II

AB Title compds. I [R1 = H, alkyl; Ar = (un)substituted Ph (substituents = alkyl, F, Cl, Br, iodo, cyano, OH, alkoxy, and/or OCH2O); m, n = 1, 2] were prepd. I are CNS-active (no data), primarily as serotoninergic agonists and antagonists, and are potentially useful as anxiolytics, antidepressants, neuroleptics, antihypertonics, analgesics, antihypertensives, etc. For example, reaction of 2-(chloromethyl)-4-phenylpyridine-HCl (prepn. given) with 2-(aminomethyl)-1,4-benzodioxane in MeCN in the presence of Et3N gave title compd. II, isolated as its di-HCl salt. Addnl. examples illustrate alternative prepns., resoln. of a racemic compd. I, and 4 std. pharmaceutical formulations.
IT 154237-36-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-demethylation of, in prepn. of CNS agent)
RN 154237-36-2 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(2,4-

L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dimethoxyphenyl)- (9CI) (CA INDEX NAME)

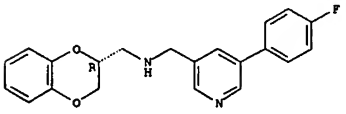


IT 154237-33-9P 154237-35-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of, in prepn. of CNS agent)
RN 154237-33-9 CAPLUS
CN Benzeneacetic acid, .alpha.-hydroxy-, (S)-, compd. with (+)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-3-pyridinemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154237-32-8
CMF C21 H19 F N2 O2

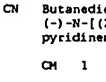
Absolute stereochemistry.



CM 2

CRN 17199-29-0
CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).



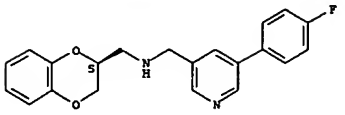
RN 154237-35-1 CAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with (-)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-3-pyridinemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154237-34-0

L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C21 H19 F N2 O2

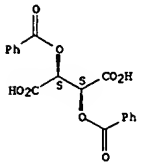
Absolute stereochemistry.



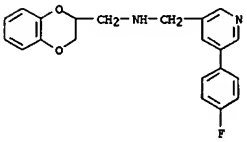
CM 2

CRN 17026-42-5
CMF C18 H14 O8

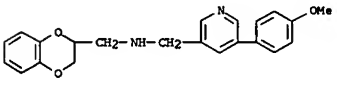
Absolute stereochemistry. Rotation (+).



IT 154237-30-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resoln. of, as CNS agent)
RN 154237-30-6 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

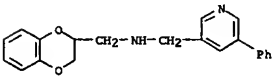


L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



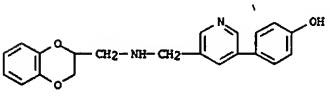
●2 HCl

RN 154237-22-6 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

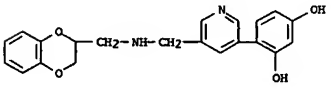


●2 HCl

RN 154237-23-7 CAPLUS
CN Phenol, 4-[5-[[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]methyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



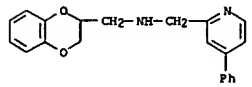
RN 154237-24-8 CAPLUS
CN 1,3-Benzenediol, 4-[5-[[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]methyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 154237-25-9 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-ethyl-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

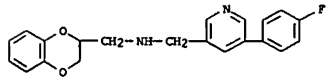
L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT 154237-19-1P 154237-20-4P 154237-21-5P
154237-22-6P 154237-23-7P 154237-24-8P
154237-25-9P 154237-26-0P 154237-28-2P
154237-29-3P 154237-30-6P 154237-31-7P
154237-32-8P 154237-34-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CNS agent)
RN 154237-19-1 CAPLUS
CN 2-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

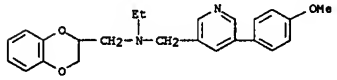
RN 154237-20-4 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



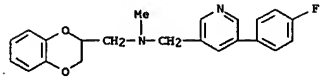
● HCl

RN 154237-21-5 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

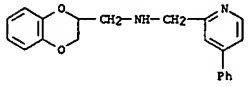
L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



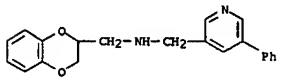
RN 154237-26-0 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 154237-28-2 CAPLUS
CN 2-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-phenyl- (9CI) (CA INDEX NAME)

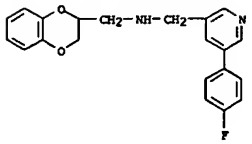


RN 154237-29-3 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-phenyl- (9CI) (CA INDEX NAME)

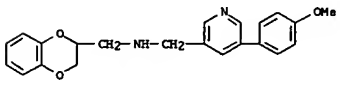


RN 154237-30-6 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

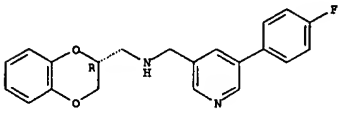


RN 154237-31-7 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 154237-32-8 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-, (R)- (9CI) (CA INDEX NAME)

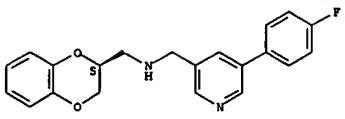
Absolute stereochemistry.



RN 154237-34-0 CAPLUS
CN 3-Pyridinemethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(4-fluorophenyl)-, (S)- (9CI) (CA INDEX NAME)

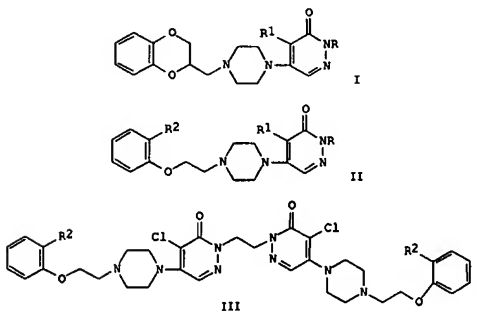
Absolute stereochemistry.

L4 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:164088 CAPLUS
DOCUMENT NUMBER: 120:164088
TITLE: New pyridazinones: synthesis and correlation between structure and .alpha.-blocking activity
AUTHOR(S): Corsano, S.; Scapicchi, R.; Strappaghetti, G.; Marucci, G.; Paparelli, F.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Perugia, Perugia, Italy
SOURCE: European Journal of Medicinal Chemistry (1993), 28(7-8), 647-51
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

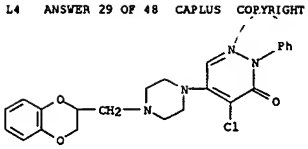


AB The synthesis of a series of 5-(4-piperazinyl)-3(2H)-pyridazinones, I (R = H, Me, Ph, R1 = Cl; R = Me, R1 = H), II (R = H, Me, Ph, R1 = Cl, R2 = H, OMe; R = R1 = H, R2 = OMe; R = Me, R1 = H, R2 = H, OMe), III (R2 = H, OMe), has been reported. The blocking activity of these compds. was detd. on the pre- and postsynaptic .alpha.-adrenoreceptors of isolated rat vas deferens.

IT 153276-38-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and correlation between structure and .alpha.-blocking activity)

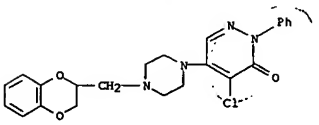
RN 153276-38-1 CAPLUS
CN 3(2H)-Pyridazinone, 4-chloro-5-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 153276-52-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 153276-52-9 CAPLUS
CN 3(2H)-Pyridazinone, 4-chloro-5-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



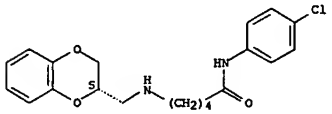
●x HCl

L4 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:588564 CAPLUS
DOCUMENT NUMBER: 119:188564
TITLE: Treatment of involuntary movements with 5HT1A receptor agonists
INVENTOR(S): Galvan, Martin
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9313766	A1	19930722	WO 1992-US10514	19921207
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 551023	A1	19930714	EP 1992-400032	19920107
R: FR				
AU 9332410	A1	19930803	AU 1993-32410	19921207
JP 08503448	T2	19960416	JP 1992-512435	19921207
ZA 9300012	A	19930805	ZA 1993-12	19930104
PRIORITY APPLN. INFO.:			EP 1992-400032	19920107
			WO 1992-US10514	19921207

OTHER SOURCE(S): MARPAT 119:188564
AB Indole derivs. and benzodioxane derivs. are used in the manuf. of a medicament for treating disease states exhibiting unwanted and abnormal involuntary movements in epilepsy, parkinsonism, Huntington's chorea, tardive dyskinesia, Friedreich's ataxia, presenile dementia, and Gilles de la Tourette syndrome.
IT 142517-15-5 142517-23-5 142517-30-4
RL: BIOL (Biological study)
(involuntary movements in nerve diseases treatment with)
RN 142517-15-5 CAPLUS
CN Pentanamide, N-(4-chlorophenyl)-5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

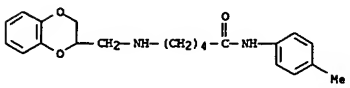
Absolute stereochemistry.



● HCl

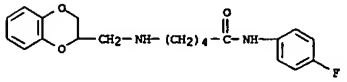
RN 142517-23-5 CAPLUS

L4 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 142517-30-4 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

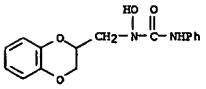


● HCl

L4 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:591880 CAPLUS
DOCUMENT NUMBER: 117:191880
TITLE: Certain benzodioxole, benzodioxane and benzodioxepin derivatives useful as 5-lipoxygenase inhibitors
INVENTOR(S): Satoh, Yoshitaka
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5120758	A	19920609	US 1991-652851	19910708
AU 9210541	A1	19920813	AU 1992-10541	19920129
EP 498770	A1	19920812	EP 1992-810070	19920130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
CA 2060788	AA	19920809	CA 1992-2060788	19920206
JP 04338386	A2	19921125	JP 1992-22767	19920207
PRIORITY APPLN. INFO.:			US 1991-652851	19910708

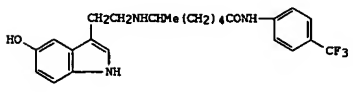
OTHER SOURCE(S): CASREACT 117:191880; MARPAT 117:191880
GI For diagram(s), see printed CA Issue.
AB The prepn. of title compds. I (R = H, lower alkyl, halo, CF3, lower alkoxy, heterocyclic aryl, carbocyclic or heterocyclic aryloxy and alkyl, C3-C7 cycloalkoxy; n = 1, 4; m = 0, 1, 2; A = direct bond, lower alkylene; X = O, S; R1 = H, Ac, lower alkoxy carbonyl, aminocarbonyl, etc.; R2 = lower alkyl, alkoxycarbonyl lower alkyl, alkyl etc.; R3, R4 = H, lower alkyl) and pharmaceutically acceptable salts useful as 5-lipoxygenase inhibitors is described. Thus, reaction of 2-(N-hydroxy)aminomethyl-1,4-benzodioxane (II) with Me3SiNCO in 1,4-dioxane gave 2-(N-aminocarbonyl-N-hydroxy)aminomethyl-1,4-benzodioxane. The prepn. of II starting from 2-hydroxymethyl-1,4-benzodioxane in several steps is also described.
IT 143463-06-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)
RN 143463-06-3 CAPLUS
CN Urea, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:490136 CAPLUS
DOCUMENT NUMBER: 117:90136
TITLE: Preparation of N-phenyl-.omega.-[(heterocyclylalkyl)amino]alkanamides as serotoninergic agonists
INVENTOR(S): McDonald, Ian A.; Dudley, Mark W.; Bernotas, Ronald C.; Sprouse, Jeffrey S.
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 478954	A1	19920408	EP 1991-114456	19910828
EP 478954	B1	20001018		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5189179	A	19930223	US 1991-735700	19910730
CA 2049803	AA	19920301	CA 1991-2049803	19910823
AU 9182664	A1	19920305	AU 1991-82664	19910823
AU 641535	B2	19930923		
ZA 9106710	A	19920527	ZA 1991-6710	19910823
IL 99306	A1	19950330	IL 1991-99306	19910826
FI 9104065	A	19920301	FI 1991-4065	19910828
NO 9103384	A	19920302	NO 1991-3384	19910828
NO 175430	B	19940704		
NO 175430	C	19941012		
HU 59092	A2	19920428	HU 1991-2810	19910828
AT 197040	E	20001115	AT 1991-114456	19910828
ES 2153346	T3	20010301	ES 1991-114456	19910828
CN 1059717	A	19920325	CN 1991-108614	19910829
CN 1030766	B	19960124		
JP 04270264	A2	19920925	JP 1991-242328	19910829
US 5387604	A	19950207	US 1992-962434	19921016
US 5559143	A	19960924	US 1994-319916	19941007
PRIORITY APPLN. INFO.:			US 1990-574710 A	19900829
			US 1991-735700 A	19910730
			US 1992-962434 A3	19921016

OTHER SOURCE(S): MARPAT 117:90136
GI



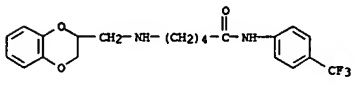
I

AB RBN(X)CHYZ1DCON(Z)R1 [B-alkylene; D = bond, alkylene; R = (substituted) 3-indolyl, -2,3-dihydro-1,4-benzodioxin-2-yl; R1 = (substituted) Ph; X, Y, Z = H, alkyl, (substituted) Ph; Z1 = (substituted) alkylene] were prepd.

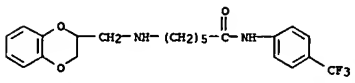
L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
as serotoninergic S1A and S1D agonists (no data). Thus, serotonin was reductively condensed with MeCO(CH2)4CONHC6H4(CF3)-4 to give title compd. I.

IT 142325-99-3P 142326-00-9P 142326-01-0P
142326-03-2P 142326-04-3P 142326-05-4P
142326-07-6P 142517-06-4P 142517-07-5P
142517-08-6P 142517-11-1P 142517-13-3P
142517-14-4P 142517-15-5P 142517-16-6P
142517-17-7P 142517-18-8P 142517-22-4P
142517-23-5P 142517-26-8P 142517-28-0P
142517-29-1P 142517-30-4P 142541-86-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as serotoninergic agonist)

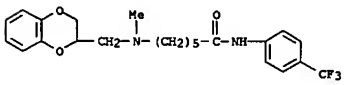
RN 142325-99-3 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 142326-00-9 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



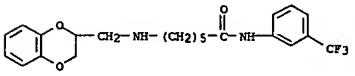
RN 142326-01-0 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]methylamino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 142326-03-2 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]-, (R)- (9CI) (CA INDEX NAME)

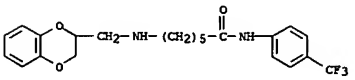
L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 142517-06-4 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



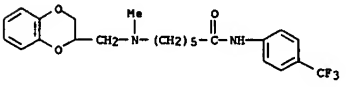
● HCl

RN 142517-07-5 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 142517-08-6 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]methylamino]-N-[4-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

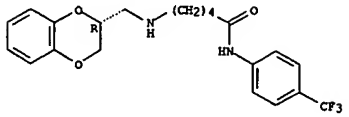


● HCl

RN 142517-11-1 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[2-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

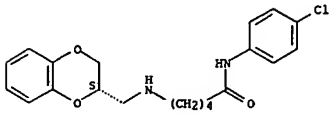
L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.

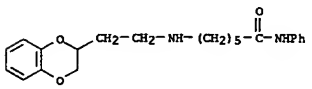


RN 142326-04-3 CAPLUS
CN Pentanamide, N-(4-chlorophenyl)-5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-, (S)- (9CI) (CA INDEX NAME)

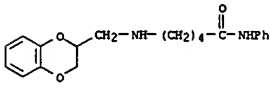
Absolute stereochemistry.



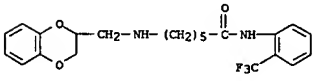
RN 142326-05-4 CAPLUS
CN Hexanamide, 6-[[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



RN 142326-07-6 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



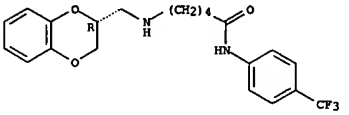
L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 142517-13-3 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

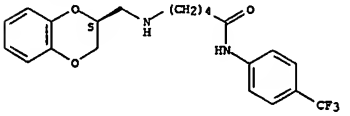
Absolute stereochemistry.



● HCl

RN 142517-14-4 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

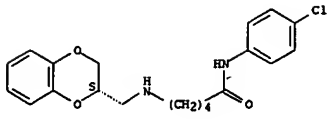


● HCl

RN 142517-15-5 CAPLUS
CN Pentanamide, N-(4-chlorophenyl)-5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

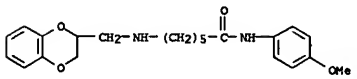
Absolute stereochemistry.

L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



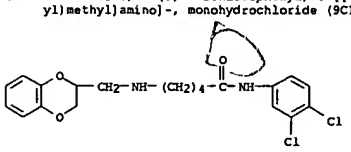
● HCl

RN 142517-16-6 CAPLUS
CN Hexanamide, 6-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

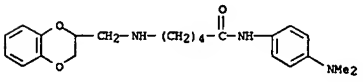
RN 142517-17-7 CAPLUS
CN Pentanamide, N-(3,4-dichlorophenyl)-5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

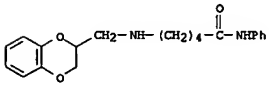
RN 142517-18-8 CAPLUS
CN Hexanamide, 6-[[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

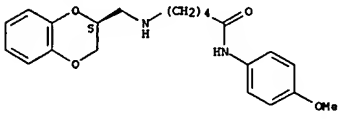
RN 142517-28-0 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 142517-29-1 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-methoxyphenyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

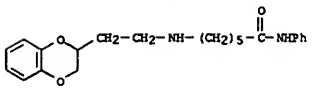
Absolute stereochemistry.



● HCl

RN 142517-30-4 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

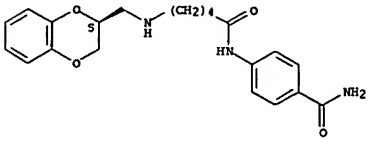
L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

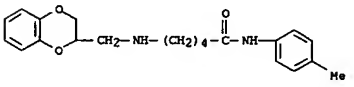
RN 142517-22-4 CAPLUS
CN Benzamide, 4-[[5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-1-oxopentyl]amino]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

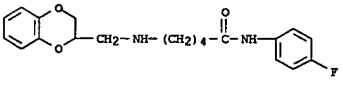
RN 142517-23-5 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-(4-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

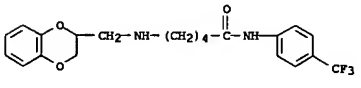
RN 142517-26-8 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(dimethylamino)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



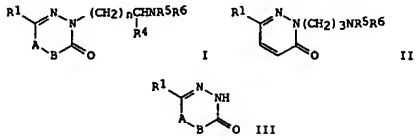
● HCl

RN 142541-86-4 CAPLUS
CN Pentanamide, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

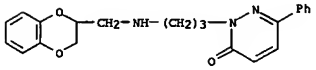


● HCl

L4 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:448460 CAPLUS
DOCUMENT NUMBER: 117:48460
TITLE: Synthesis, antihypertensive and .alpha.-adrenoceptor activity of novel 2-aminoalkyl-3(2H)-pyridazinones
AUTHOR(S): Matyus, P.; Kosary, J.; Kasztreiner, E.; Makk, N.; Diesler, E.; Czako, K.; Rabloczky, G.; Jaszlits, L.; Horvath, E.; et al.
CORPORATE SOURCE: Div. Chem., Inst. Drug Res., Budapest, H-1325, Hung.
SOURCE: European Journal of Medicinal Chemistry (1992), 27(2), 107-14
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

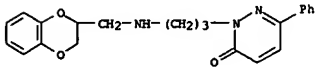


AB A no. of 2-[(phenoxyalkyl)amino]alkyl- and [(2-[1,4]benzodioxanylmethyl)amino]alkyl-3(2H)-pyridazinones I (R1 = H, CO2Et, 1-imidazolyl, morpholino, etc., R4 = H, Me, R5 = 2-[1,4]benzodioxanyl, 2-phenoxyethyl, 3-phenoxyethyl, etc., R6 = CH2Ph, H, Me, AB = CR2:CR3, CH2CH2, R2, R3 = H, Me, n = 1, 2) and II (R1 = Cl, 1-pyrrolyl, R5 = 2-[1,4]benzodioxanyl, 2-phenoxyethyl, 3-phenoxyethyl, etc., R6 = CH2Ph, H, Me) were synthesized and tested for hypotensive and antihypertensive activity as well as for .alpha.1- and .alpha.2-adrenoceptor binding affinities. Thus, pyridazinones III were N-alkylated with Cl(CH2)nCHR4NHR5R6 to give I. Some derivs. showed strong hypotensive/antihypertensive effect and high affinity for .alpha.2- and .alpha.1-adrenoceptors.
IT 142230-60-2P 142285-99-2P 142286-33-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antihypertensive, and adrenoreceptor activity of)
RN 142230-60-2 CAPLUS
CN 3(2H)-Pyridazinone, 2-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-6-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 142285-99-2 CAPLUS
CN 3(2H)-Pyridazinone, 2-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-6-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

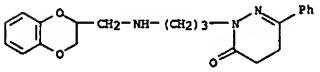


● HCl

RN 142286-33-7 CAPLUS
CN 3(2H)-Pyridazinone, 2-[3-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]-4,5-dihydro-6-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

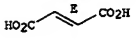
CRN 142286-32-6
CMF C22 H25 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

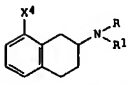
Double bond geometry as shown.



L4 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:120898 CAPLUS
DOCUMENT NUMBER: 116:120898
TITLE: Use of 5-HT1A receptor agonist compounds for inhibiting gastric acid secretion
INVENTOR(S): Gidda, Jaswant Singh; Schaus, John Mehnert
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

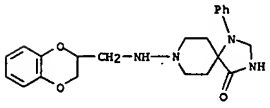
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 455510	A2	19911106	EP 1991-304047	19910503
EP 455510	A3	19920506		
EP 455510	B1	19961127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5096908	A	19920317	US 1990-519388	19900504
CA 2040248	AA	19911105	CA 1991-2040248	19910411
CA 2040248	C	20010619		
AU 9176079	A1	19911107	AU 1991-76079	19910429
AU 640003	B2	19930812		
JP 04270219	A2	19920925	JP 1991-130428	19910502
HU 60918	A2	19921130	HU 1991-1499	19910503
HU 217835	B	20000428		
ZA 9103363	A	19930127	ZA 1991-3363	19910503
AT 145553	E	19961215	AT 1991-304047	19910503
ES 2094792	T3	19970201	ES 1991-304047	19910503
US 5158956	A	19921027	US 1991-707357	19910529
US 5258379	A	19931102	US 1992-898991	19920615
US 5340838	A	19940823	US 1993-68723	19930526
US 5457120	A	19951010	US 1994-219157	19940329
US 5576352	A	19961119	US 1995-387492	19950213
US 5594025	A	19970114	US 1995-418722	19950407
US 5594034	A	19970114	US 1995-420520	19950407

PRIORITY APPLN. INFO.: US 1990-519388 A 19900504
US 1991-707357 A3 19910529
US 1992-898991 A3 19920615
US 1993-68723 A3 19930526
US 1994-219157 A3 19940329
US 1995-387492 A3 19950213
OTHER SOURCE(S): MARPAT 116:120898
GI



AB Gastric acid secretion in mammals is inhibited by administering a 5-HT1A agonist or a pharmaceutically-acceptable salt thereof.

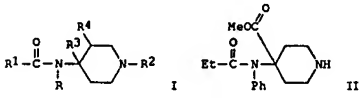
L4 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Tetrahydronaphthalene deriv. I (X4 = OMe; R,R1 = Pr) at 10 .mu.mol/kg inhibited gastric acid secretion by 96.4% in the pylorus ligated rat model. 2-Di-n-propylamino-8-thiomethyl-1,2,3,4-tetrahydronaphthalene was prepd. from 8-bromo-2-tetralone and di-n-propylamine in 3 steps. Capsule, tablet, aerosol, etc. formulations are described.
IT 139153-62-1
RL: BIOL (Biological study)
(as 5-HT1A agonist for inhibiting gastric acid secretion)
RN 139153-62-1 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-1-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:185242 CAPLUS
DOCUMENT NUMBER: 114:185242
TITLE: Preparation of N-aryl-N-(4-heterocyclic alkyl)piperidinyl)amides
INVENTOR(S): Bagley, Jerome R.; Lalinde, Nhora Lucia; Huang, Bao Shan; Spencer, H. Kenneth
PATENT ASSIGNEE(S): BOC Inc., USA
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

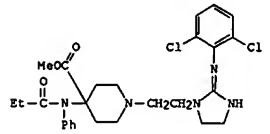
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 396282	A2	19901107	EP 1990-304210	19900419
EP 396282	A3	19920108		
R: DE, ES, FR, GB, IT				
US 5053411	A	19911001	US 1989-341094	19890420
CA 2010425	AA	19901020	CA 1990-2010425	19900220
JP 02292279	A2	19901203	JP 1990-102759	19900418
US 34201	E	19930323	US 1992-868750	19920414

PRIORITY APPLN. INFO.: US 1989-341094 19890420
OTHER SOURCE(S): MARPAT 114:185242
GI



I

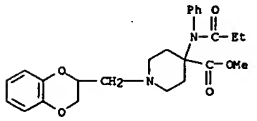
II



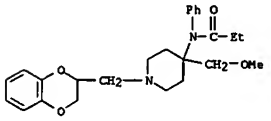
III

AB Title N-aryl-N-piperidinylamides I [R = (substituted) Ph; R1 = (alkoxy) C2-6 alkyl, C2-6 alkenyl, C2-6 alkoxy; R2 = heterocyclylalkyl; R3 = H, alkoxycarbonyl, alkoxymethyl; R4 = H, Me], useful as analgesics, were prepd. For example piperidinylpropanamide II was subjected to N-alkylation by BrCH2CH2OH, followed by reaction with MeSO2Cl. Subsequent reaction with clonidine hydrochloride gave title propanamide III. The ED50 of III in the mouse hot-plate analgesia test was 2 mg/kg. The ED50

L4 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
of 126 other I were detd.
IT 131728-89-7P 131728-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)
RN 131728-89-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (9CI) (CA INDEX NAME)



RN 131728-91-1 CAPLUS
CN Propanamide, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(methoxymethyl)-4-piperidinyl]-N-phenyl- (9CI) (CA INDEX NAME)

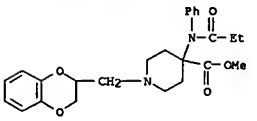


L4 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:101642 CAPLUS
DOCUMENT NUMBER: 114:101642
TITLE: New 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl methyl ester and methylene methyl ether analgesics
AUTHOR(S): Bagley, Jerome R.; Thomas, Sheela A.; Rudo, Frieda G.; Spencer, H. Kenneth; Doorley, Brian M.; Ossipov, Michael H.; Jerussi, Thomas P.; Benvenga, Mark J.; Spaulding, Theodore
CORPORATE SOURCE: Chem. Dep., Anaquest, Murray Hill, NJ, 07974, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(2), 827-41
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:101642
GI

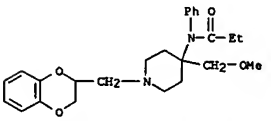
I

AB A series of new 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl Me esters (I; R = heterocyclic substituted alkyl, R1 = CO2Me) and methylene Me esters (I; R1 = CH2OMe) have been synthesized and pharmacol. evaluated. In the mouse hot-plate test, the majority of compds. exhibited an analgesia (ED50 < 1 mg/kg) superior to that of morphine. These studies revealed a pharmacol. accommodation for many more structurally diverse and far bulkier arom. ring systems than the corresponding components of the arylethyl groups of the prototypic Me ester, carfentanil, and methylene Me ethers, sufentanil, and alfentanil, 4-propionanilido analgesics. Me 1-[2-(1H-pyrazol-1-yl)ethyl]-4-[(1-oxopropyl)phenylamino]-4-piperidinecarboxylate, which exhibited appreciable .mu.-opioid receptor affinity, was a more potent and short-acting analgesic, than alfentanil with less respirtory depression in the rat. On the other hand, the phthalimides I [R = 2-phthalimidoethyl; R1 = CO2Me (II), CH2OMe (III)], which exhibited negligible affinity for opioid receptor-assocd. with the mediation of nociceptive transmission (i.e., .mu.-, .kappa.-, and .delta.-subtypes), displayed analgesic efficacy in all antinociception tests. In addn., while III, compared to clin. opioids, showed a superior recovery of motor coordination after regaining of righting reflex from full anesthetic doses in the rat rotorod test, II showed significantly less depression of cardiovascular function at supraanalgesic doses in the isoflurane-anesthetized rat.
IT 131728-89-7P 131728-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic activity of)
RN 131728-89-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



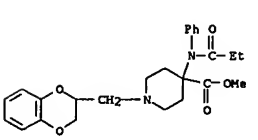
RN 131728-91-1 CAPLUS
CN Propanamide, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(methoxymethyl)-4-piperidinyl]-N-phenyl- (9CI) (CA INDEX NAME)



IT 131728-90-0P 131758-57-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 131728-90-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[(1-oxopropyl)phenylamino]-, methyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 131728-89-7
CMF C25 H30 N2 O5



CM 2

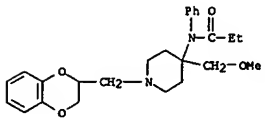
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 131758-57-1 CAPLUS
CN Propanamide, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(methoxymethyl)-4-piperidinyl]-N-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

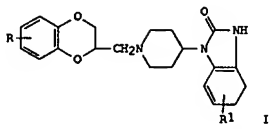
CRN 131728-91-1
CMF C25 H32 N2 O4



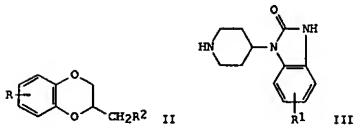
CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:196346 CAPLUS
DOCUMENT NUMBER: 106:196346
TITLE: Synthesis and neuroleptic activity of a series of 1-[1-(benzo-1,4-dioxan-2-ylmethyl)-4-piperidinyl]benzimidazolone derivatives
AUTHOR(S): Henning, Rainer; Lattrell, Rudolf; Gerhards, Hermann J.; Leven, Margret
CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, 6230/80, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1987), 30(5), 814-19
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:196346
GI



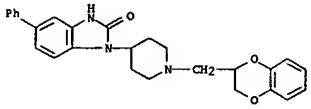
I



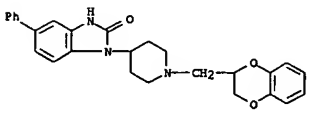
II III

AB Forty-two title compds. I (R = H, 6-F, 7-F, 7-Cl, 5-Me, etc.; R1 = 5-Br, 5-OMe, 5-CF3, 5-F, 6-Cl, 7-Cl, etc.) were prepd. by treating benzodioxanes II (R2 = Br, tosyloxy) with piperidines III in the presence of base. I were tested for neuroleptic activity as well as for extrapyramidal effects. There was a strong dependence of activity on the 5-substituent in the benzimidazolone moiety. Some compds. exhibited a large split between the desired antiapomorphine and the undesired extrapyramidal effects.
IT 107617-52-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and neuroleptic activity of)
RN 107617-52-7 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-

L4 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
piperidinyl]-1,3-dihydro-5-phenyl- (9CI) (CA INDEX NAME)



IT 107617-53-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 107617-53-8 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]-1,3-dihydro-5-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

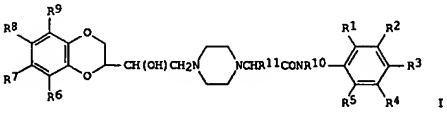


● HCl

L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:186449 CAPLUS
DOCUMENT NUMBER: 104:186449
TITLE: [(Benzodioxanylhydroxyethyl)piperazinyl]acetanilides which affect calcium entry and .beta.-blockade
INVENTOR(S): Kluge, Arthur F.; Clark, Robin D.; Strosberg, Arthur M.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

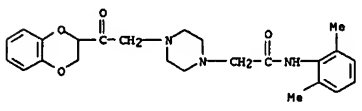
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4558129	A	19851210	US 1983-495870	19830518
PRIORITY APPLN. INFO.:			US 1983-495870	19830518

GI



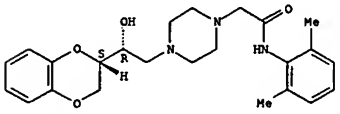
I

AB The title compds. (I; R1-R9 = H, alkyl, CF3, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, halo; R2R3 = OCH2O; R10, R11 = H, alkyl) and their esters and salts, useful as Ca channel blockers and .beta.-adrenergic blockers (no data), were prepd. Thus, 2-(bromoacetyl)-1,4-benzodioxan and piperazine were refluxed 6 h in EtOH to give 1-(1,4-benzodioxan-2-yl)-2-(1-piperazinyl)ethanone. This was N-alkylated by ClCH2CONHC6H3Me2-2,6 (prepd. by acetylation of the xylidine with ClCH2COCl) and the product reduced with NaBH4 to give (.+-.)-erythro- and (.+-.)-threo-I (R1 = R5 = H, remaining R = H).
IT 102033-50-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and borohydride redn. of)
RN 102033-50-1 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-oxoethyl]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



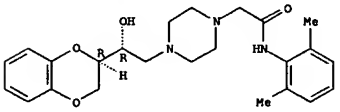
L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT 101989-81-5P 101989-82-6P 101989-83-7P
101989-84-8P 101989-85-9P 101989-86-0P
101989-87-1P 101989-88-2P 101989-89-3P
101989-90-6P 101989-91-7P 101989-92-8P
101989-94-0P 101989-95-1P 101989-96-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as calcium channel blocker and .beta.-sympatholytic)
RN 101989-81-5 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 101989-82-6 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

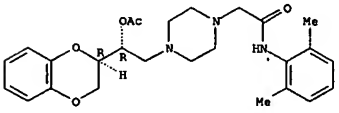


RN 101989-83-7 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, dihydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

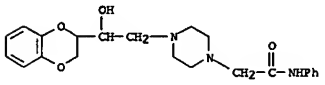
Relative stereochemistry.

L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

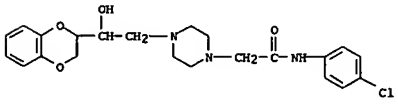
Relative stereochemistry.



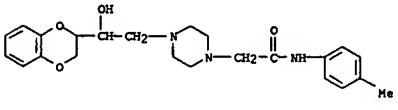
RN 101989-87-1 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 101989-88-2 CAPLUS
CN 1-Piperazineacetamide, N-(4-chlorophenyl)-4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

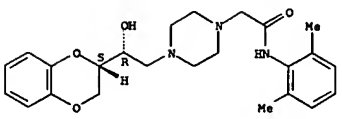


RN 101989-89-3 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 101989-90-6 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

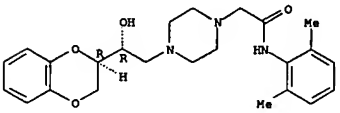
L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 101989-84-8 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, dihydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

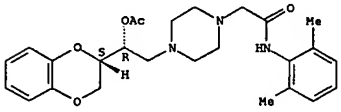
Relative stereochemistry.



●2 HCl

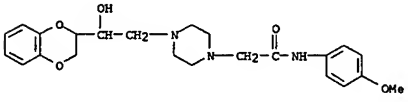
RN 101989-85-9 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(acetyloxy)-2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]-N-(2,6-dimethylphenyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

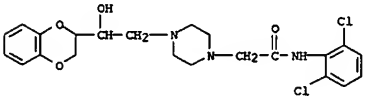


RN 101989-86-0 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(acetyloxy)-2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]-N-(2,6-dimethylphenyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

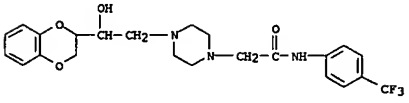
L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



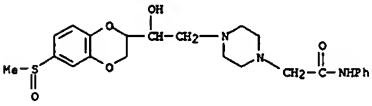
RN 101989-91-7 CAPLUS
CN 1-Piperazineacetamide, N-(2,6-dichlorophenyl)-4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)



RN 101989-92-8 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 101989-94-0 CAPLUS
CN 1-Piperazineacetamide, 4-[2-[2,3-dihydro-6-(methylsulfinyl)-1,4-benzodioxin-2-yl]-2-hydroxyethyl]-N-phenyl- (9CI) (CA INDEX NAME)



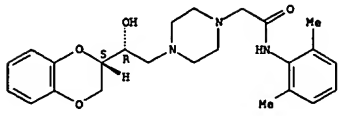
RN 101989-95-1 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, (R*,S*)-, sulfate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 101989-81-5

L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C24 H31 N3 O4

Relative stereochemistry.



CM 2

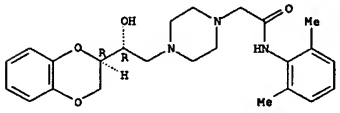
CRN 7664-93-9
CMF H2 O4 S

RN 101989-96-2 CAPLUS
CN 1-Piperazineacetamide, 4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-N-(2,6-dimethylphenyl)-, (R*,R*)-, sulfate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 101989-82-6
CMF C24 H31 N3 O4

Relative stereochemistry.



CM 2

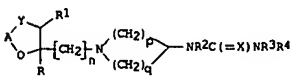
CRN 7664-93-9
CMF H2 O4 S

L4 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

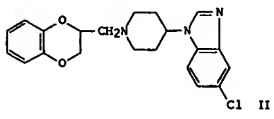
L4 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:160727 CAPLUS
DOCUMENT NUMBER: 98:160727
TITLE: N-Oxacyclyl alkylpiperidine derivatives, pharmaceutical preparations and their use
INVENTOR(S): Henning, Rainer; Lattrell, Rudolf; Gerhards, Hermann
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3124366	A1	19821230	DE 1981-3124366	19810620
EP 68261	A1	19830105	EP 1982-105174	19820614
EP 68261	B1	19850403		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 12498	E	19850415	AT 1982-105174	19820614
ES 513102	A1	19830316	ES 1982-513102	19820615
FI 8202178	A	19821221	FI 1982-2178	19820617
NO 8202041	A	19821221	NO 1982-2041	19820618
DK 8202757	A	19821221	DK 1982-2757	19820618
JP 58000977	A2	19830106	JP 1982-104048	19820618
AU 8284992	A1	19830106	AU 1982-84992	19820618
AU 551182	B2	19860417		
ZA 8204328	A	19830427	ZA 1982-4328	19820618
HU 30741	O	19840328	HU 1982-1992	19820618
HU 190989	B	19861228		
US 4470989	A	19840911	US 1982-389677	19820618
CA 1175432	A1	19841002	CA 1982-405525	19820618
IL 66084	A1	19860731	IL 1982-66084	19820618
PRIORITY APPLN. INFO.:			DE 1981-3124366	19810620
			EP 1982-105174	19820614

OTHER SOURCE(S): CASREACT 98:160727
GI



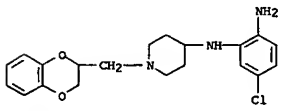
I



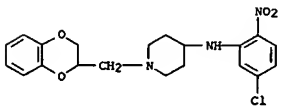
II

AB I [A = (un)substituted phenylene; R, R1 and R2, R3 = H, or C1-5 alkyl; or

L4 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(R2R3 =) A or alkylene; R4 = H, C1-5 alkyl, aryl; X = O, S, NH, NMe, NBu; Y = O or S; n = 1-3; p, q = 1, 3, p + q = 4] were prepd. as neuroleptics (no data). Thus, Et 4-amino-1-piperidinecarboxylate was acrylated with 2-O2NC6H4Cl2-1,4, reduced, cyclized to the corresponding benzimidazole with urea, decarboxylated, and treated with, e.g., 2-(chloromethyl)-1,4-benzodioxan to give II.
IT 85076-04-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization with potassium cyanate)
RN 85076-04-6 CAPLUS
CN 1,2-Benzenediamine, 4-chloro-N2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



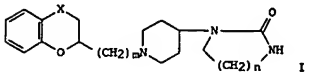
IT 85076-00-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 85076-00-2 CAPLUS
CN 4-Piperidinamine, N-(5-chloro-2-nitrophenyl)-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:492295 CAPLUS
DOCUMENT NUMBER: 97:92295
TITLE: N-Oxacyclic alkylpiperidines as psychostimulants
INVENTOR(S): Huebner, Charles F.
PATENT ASSIGNEE(S): Ciba-Geigy Corp. , USA
SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 15,539, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4329348	A	19820511	US 1980-186776	19800912
EP 48218	A1	19820324	EP 1981-810369	19810907
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
GB 2083813	A	19820331	GB 1981-26989	19810907
FI 8102811	A	19820313	FI 1981-2811	19810909
DD 202292	A5	19830907	DD 1981-233167	19810909
DK 8104059	A	19820313	DK 1981-4059	19810911
NO 8103110	A	19820315	NO 1981-3110	19810911
AU 8175168	A1	19820318	AU 1981-75168	19810911
ZA 8106322	A	19820929	ZA 1981-6322	19810911
ES 505410	A1	19830101	ES 1981-505410	19810911
JP 57081483	A2	19820521	JP 1981-143193	19810912
AT 8203523	A	19850815	AT 1982-3523	19820922
AT 8203524	A	19850815	AT 1982-3524	19820922
AT 8203525	A	19850815	AT 1982-3525	19820922

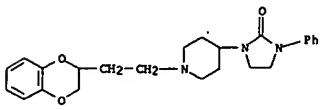
PRIORITY APPLN. INFO.: US 1978-888089 19780320
US 1979-15539 19790226
AT 1979-2044 19790319
US 1980-186776 19800912
OTHER SOURCE(S): CASREACT 97:92295
GI



I

AB The title compds. I (X = O, S; m = 2,3; n = 1,2) were prepd. Thus CH2:CHCH2CN was brominated and BrCH2CHBrCH2CN treated with catechol to give 1,4-benzodioxan-2-ylacetonitrile which was hydrolyzed to the acid and reduced to 2-(2-hydroxyethyl)-1,4-benzodioxan. The alc. was tosylated and treated with 1-(4-piperidinyl)-2-imidazolidinone (II) to give I (X = O, m = 2, n = 1). II was prepd. by treating 4-aminopyridine with ClCH2CH2NCO, cyclizing the resulting urea, and reducing the pyridyl group.
IT 72822-64-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of)
RN 72822-64-1 CAPLUS
CN 2-Imidazolidinone, 1-[1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]-4-piperidinyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

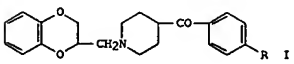


● HCl

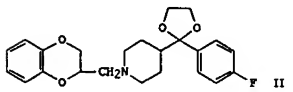
L4 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:65700 CAPLUS
DOCUMENT NUMBER: 94:65700
TITLE: Benzodioxane derivatives
PATENT ASSIGNEE(S): Bouchara, Emile, Fr.
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55111482	A2	19800828	JP 1979-16763	19790217

PRIORITY APPLN. INFO.: JP 1979-16763 19790217
GI



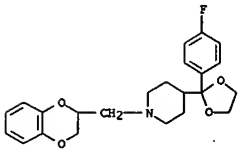
I



II

AB Benzodioxane derivs. (I; R = H, halo, OH, C1-6 alkyl, alkoxy, acyloxy), effective antihypertensives at 10-50 mg/kg in rats and dogs, were prepd. Thus, 100 parts II.HCl and 200 parts concd. HCl in aq. Me2CHOH was heated to boiling for 2.5 h to give 74 parts I (R = F). Similarly prepd. were 7 addnl. I and salts.
IT 76335-52-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
RN 76335-52-9 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

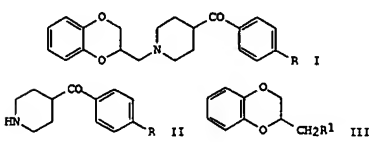


● HCl

L4 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:65699 CAPLUS
DOCUMENT NUMBER: 94:65699
TITLE: Benzodioxan derivatives and their therapeutical applications
INVENTOR(S): Dumaitre, Bernard; Perrin, Claude; Cornu, Pierre Jean; Streichenberger, Gilles
PATENT ASSIGNEE(S): Bouchara, Emile, Fr.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

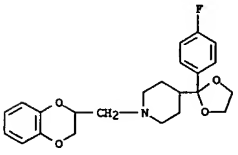
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 14295	A1	19800820	EP 1979-400071	19790205
EP 14295	B1	19830119		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
CA 1119602	A1	19820309	CA 1979-321394	19790213
US 4432984	A	19840221	US 1981-269411	19810601
PRIORITY APPLN. INFO.:			EP 1979-400071	19790205
			US 1979-11162	19790209
			US 1980-134476	19800327

GI



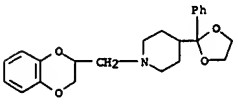
AB Benzodioxins I (R = H, halo, C1-6 alkyl, HO, C1-6 alkoxy, acyloxy), useful as antihypertensives, were prepd. by condensation of benzoylpiperidines II and methylbenzodioxins III (R1 = Cl or reactive ester). Thus, II (R = MeO) and III (R1 = MeSO3) in xylene contg. K2CO3 was refluxed to give I (R = MeO), which was converted to its fumarate.
IT 76362-20-4P 76362-22-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acid hydrolysis of)
RN 76362-20-4 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[2-(4-methylphenyl)-1,3-dioxolan-2-yl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



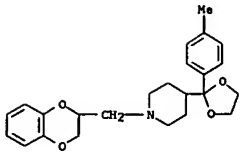
● HCl

RN 76362-17-9 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(2-phenyl-1,3-dioxolan-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)



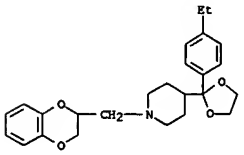
● HCl

L4 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 76362-22-6 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[2-(4-ethylphenyl)-1,3-dioxolan-2-yl]-, hydrochloride (9CI) (CA INDEX NAME)



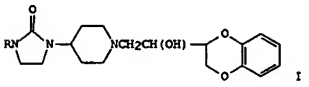
● HCl

IT 76335-52-9P 76362-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ketal hydrolysis of)
RN 76335-52-9 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:15746 CAPLUS
DOCUMENT NUMBER: 94:15746
TITLE: Benzodioxanylhydroxyethylpiperidylimidazolidinones and their pharmaceutical use
INVENTOR(S): Langbein, Adolf; Walther, Gerhard; Hoefke, Wolfgang; Gaida, Wolfram
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

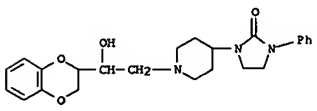
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2852945	A1	19800626	DE 1978-2852945	19781207
PRIORITY APPLN. INFO.:			DE 1978-2852945	19781207

GI



I

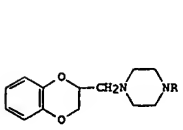
AB The antihypertensive (no data) compds. I (R = H, alkyl, acyl, optionally substituted Ph) and their salts were prepd. Thus, 4-(4-piperidyl)-2-imidazolidinone reacted with 2-(2-bromo-1-hydroxyethyl)benzodioxan in DMF to give 78.1% I (R = H).
IT 75569-27-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 75569-27-6 CAPLUS
CN 2-Imidazolidinone, 1-[1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)-2-hydroxyethyl]-4-piperidinyl]-3-phenyl- (9CI) (CA INDEX NAME)

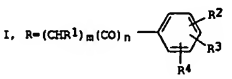


L4 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:514566 CAPLUS
DOCUMENT NUMBER: 93:114566
TITLE: 2-Substituted piperazinomethyl-1,4-benzodioxans
INVENTOR(S): Yamada, Toshihiro; Yamaguchi, Azuma; Shimamura, Hiroshi; Takatani, Masahiro
PATENT ASSIGNEE(S): Morishita Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55015456	B4	19800202	JP 1978-89120	19780719

GI





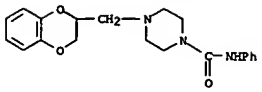
I, R=(CHR1)m(CO)n

II, R=C(:Z)NHR5

III, R=H

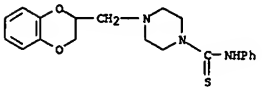
AB Title compds. I.HCl, I.2HCl [m, n = 0, 1, (not m = n = 0); R1 = H, Me; R2, R3, R4 = H, Cl, Me, MeO, etc.], and II.HCl (Z = O, S; R5 = Me, Ph, cyclohexyl, etc.) having hypotensive activity in rats (blood pressure decreased 5.7-39.7% at 10 mg/kg), were prepd.; I by reaction of III with RX (X = Cl, Br) and II by reaction of III with R5NCZ. Thus, 1.5 g III, 1.1 g p-ClC6H4CH2Cl, and 0.9 g K2CO3 in EtOH were heated 6 h at 90.degree. to give 91% I.2HCl (R = p-ClC6H4CH2).
IT 74754-22-6P 74754-23-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and hypotensive activity of)
RN 74754-22-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 74754-23-7 CAPLUS
CN 1-Piperazinecarbothioamide, 4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

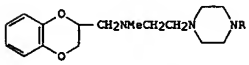


● HCl

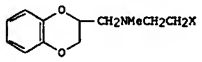
L4 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:128937 CAPLUS
DOCUMENT NUMBER: 92:128937
TITLE: 2-[N-Methyl-N-(.beta.-piperazin-1-ylethyl)aminomethyl]-1,4-benzodioxanes
INVENTOR(S): Yamada, Toshihiro; Yamaguchi, Azuma; Shimamura, Hiroshi; Takaya, Masahiro
PATENT ASSIGNEE(S): Morishita Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54103893	A2	19790815	JP 1978-8480	19780126

PRIORITY APPLN. INFO.: JP 1978-8480 19780126
GI

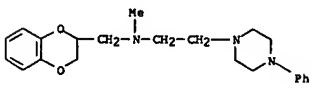


I



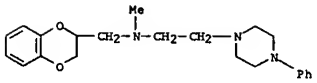
II

AB Hypotensive benzodioxanes I (R = H, Me, Ph, 2-pyridyl) were prepd. from II (X = Cl) (III) and piperazines. Thus, 25.9 g 2-(chloromethyl)-1,4-benzodioxane heated with 75 g MeNHCH2CH2OH in EtOH at 100.degree. 24 h gave 90% II (X = OH), which was treated with SOCl2-C5H5N in CHCl3 to give 74% III. III (4.5 g) was heated with 4.8 g piperazine at 160.degree. 10 h to give 80% I (R = H), converted to its tri-HCl salt monohydrate.
IT 73121-18-3P 73121-21-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73121-18-3 CAPLUS
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)



RN 73121-21-8 CAPLUS
CN 1-Piperazineethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

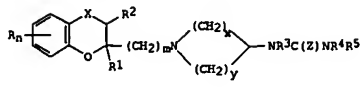
L4 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:110985 CAPLUS
DOCUMENT NUMBER: 92:110985
TITLE: N-Oxacycloalkylalkylpiperidines
INVENTOR(S): Huebner, Charles Ferdinand
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 4358	A1	19791003	EP 1979-100815	19790316
EP 4358	B1	19820106		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
ZA 7900417	A	19800130	ZA 1979-417	19790131
CA 1117941	A1	19820209	CA 1979-322140	19790223
GB 2019837	A	19791107	GB 1979-9231	19790315
GB 2019837	B2	19820825		
ES 478662	A1	19800116	ES 1979-478662	19790315
FI 7900894	A	19790921	FI 1979-894	19790316
FI 66373	B	19840629		
FI 66373	C	19841010		
PL 116514	B1	19810630	PL 1979-214206	19790317
DK 7901130	A	19790921	DK 1979-1130	19790319
NO 7900917	A	19790921	NO 1979-917	19790319
NO 150202	B	19840528		
NO 150202	C	19840905		
AU 7945243	A1	19790927	AU 1979-45243	19790319
AU 529838	B2	19830623		
ZA 7901276	A	19800326	ZA 1979-1276	19790319
DD 142341	C	19800618	DD 1979-211661	19790319
AT 7902044	A	19830115	AT 1979-2044	19790319
AT 372088	B	19830825		
HU 25283	O	19830628	HU 1979-CI1923	19790319
HU 182941	B	19840328		
IL 56908	A1	19830930	IL 1979-56908	19790319
JP 54157570	A2	19791212	JP 1979-31842	19790320
ES 484570	A1	19800516	ES 1979-484570	19790928
ES 484571	A1	19800516	ES 1979-484571	19790928
ES 484572	A1	19800516	ES 1979-484572	19790928
AT 8203523	A	19850815	AT 1982-3523	19820922
AT 8203524	A	19850815	AT 1982-3524	19820922
AT 8203525	A	19850815	AT 1982-3525	19820922

PRIORITY APPLN. INFO.: US 1978-888089 19780320
AT 1979-2044 19790319

GI

L4 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



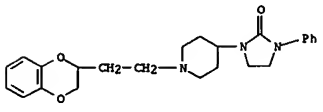
I

AB The title compds. I [R = alkyl, alkoxy, alkylenedioxy, halogen, CF3; R1-R4 = H, lower alkyl; R3R4 = alkylene, C6H4; R5 = H, alkyl, Ph; X = O, S, SO; Z = O, S, (substituted) NH; n = 1-3; m = 1-7; x = y = 1-3] and their salts were prepd. and tested for antidepressive activity. Thus, 1-(4-piperidyl)-2-imidazolidinone reacted with 2-(2-tosyloxyethyl)-1,4-benzodioxane to give I [R = R1 = R2 = R5 = H, R3R4 = (CH2)2, X = Z = O, n = 1, m = x = y = 2].

IT 72822-64-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72822-64-1 CAPLUS

CN 2-Imidazolidinone, 1-[1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]-4-piperidinyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:463682 CAPLUS
DOCUMENT NUMBER: 81:63682
TITLE: Aminated derivatives of 1,4-benzodioxane
INVENTOR(S): Lafon, Louis
PATENT ASSIGNEE(S): Laboratoire L. Lafon
SOURCE: Ger. Offen., 35 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2353059	A1	19740606	DE 1973-2353059	19731023
ES 419814	A1	19760316	ES 1973-419814	19731019
FR 2203638	A1	19740517	FR 1973-37612	19731022
BE 806380	A1	19740423	BE 1973-2053163	19731023
GB 1411531	A	19751029	GB 1972-49022	19731023
US 3944549	A	19760316	US 1973-408947	19731023
JP 49093382	A2	19740905	JP 1973-119024	19731024
JP 57026276	B4	19820603		

PRIORITY APPLN. INFO.: GB 1972-49022 19721024

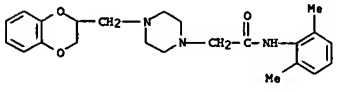
GI For diagram(s), see printed CA Issue.

AB Piperazinomethylbenzodioxans I (R = CH2CH2OH hemifumarate, CH2CH2OCPr, CH2CH2OAc, CH2CH(OH)CH2OH, CH2CONHC6H3Me2-2,6, 2-pyrimidinyl, R1 = H; R = CH2CH2OH, R1 = Me, CMe3) were prepd. by treating the appropriate pyrocatechol with epichlorohydrin, chlorinating the 2-hydroxymethyl-1,4-benzodioxan, and treating the 2-chloromethyl-1,4-benzodioxan with a piperazine deriv. or with piperazine andthen RCl. I are vasodilators, antihypertensives, and .alpha.-sympatholytics.

IT 53073-92-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53073-92-0 CAPLUS

CN 1-Piperazineacetamide, 4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(2,6-dimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:400176 CAPLUS
DOCUMENT NUMBER: 77:176
TITLE: Thiourea derivatives with tuberculostatic action. II. Acylthiocarbamides
AUTHOR(S): Toldy, L.; Solyom, S.; Kocka, I.; Toth, G.; Toth, I.
CORPORATE SOURCE: Inst. Drug Res., Budapest, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1971), 69(2), 221-7
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Of the 21 1-(4-alkoxyphenylthiocarbamyl)-(4R)-piperazines, 15 1-substituted 3-acetylthiocarbamides, and 19 1-substituted S-methoxymethylisothiocarbamides tested for tuberculostatic activity, 1-(4-isoamyloxyphenyl)-3-carbethoxythiocarbamide (I) [23822-65-3] had the greatest effect in vitro, being tuberculostatic at 0.4-0.8 .mu.g/ml, and it gave an expressed antituberculotic effect in mice and guinea pigs with no toxic effects. The absorptive properties of I were also good.

IT 36993-58-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tuberculostatic activity of)

RN 36993-58-5 CAPLUS

CN 1-Piperazinecarbothioamide, 4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)